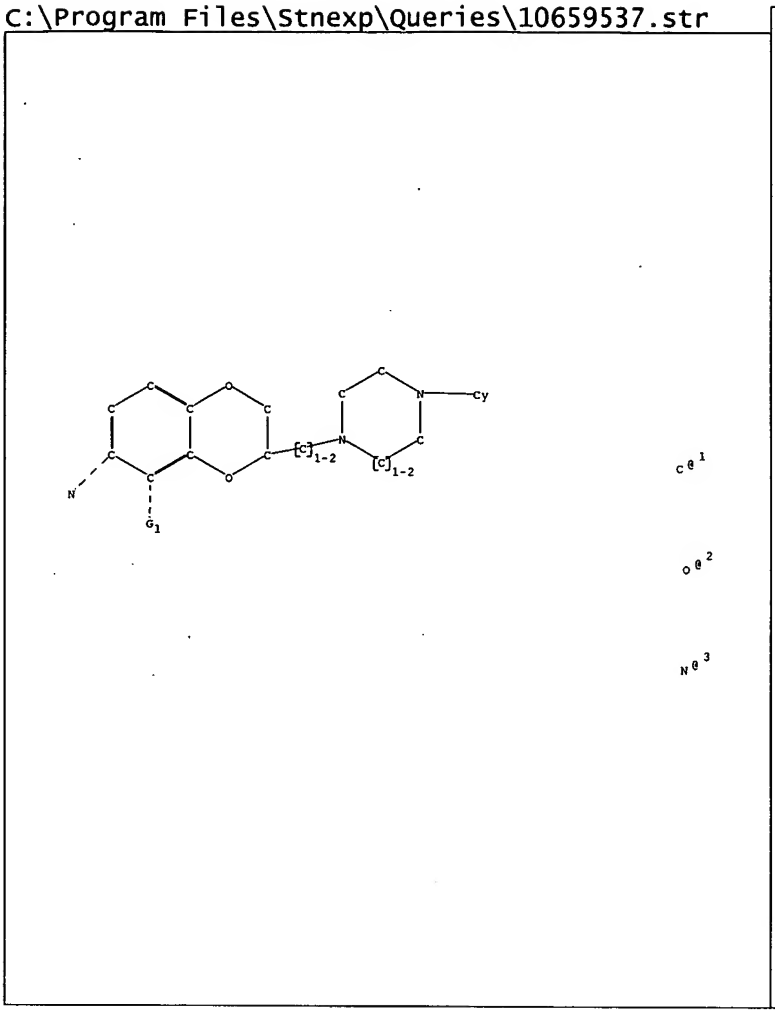
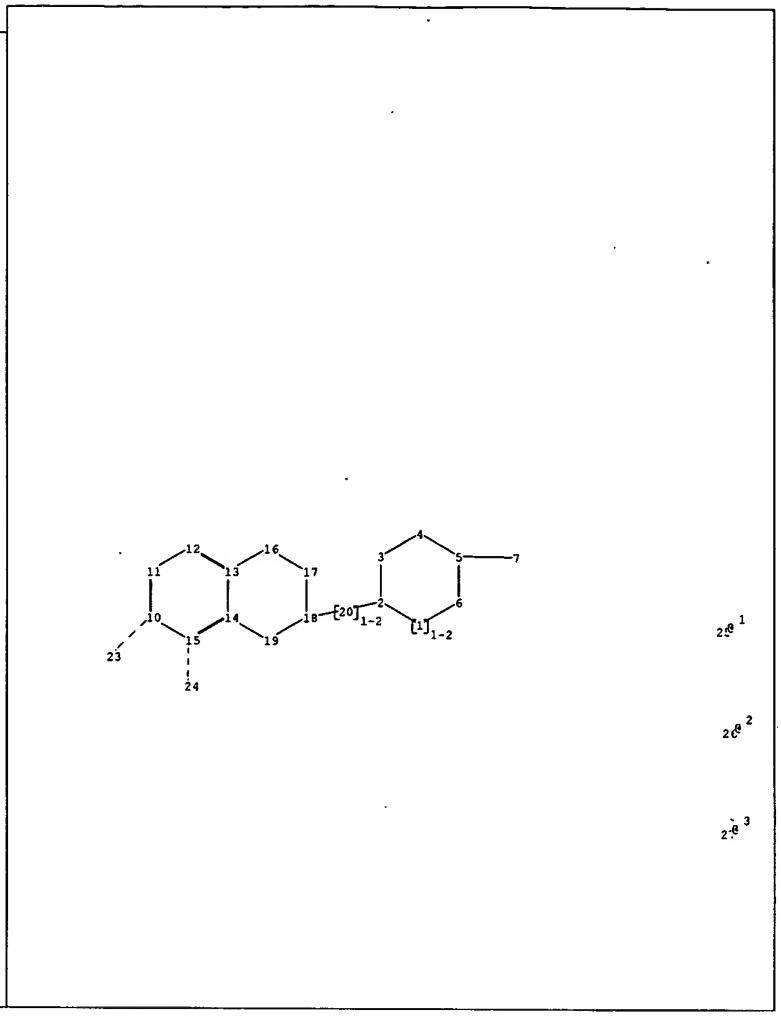
C:\Program Files\Stnexp\Queries\10659537.str

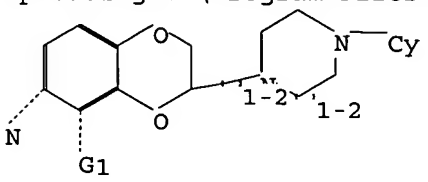


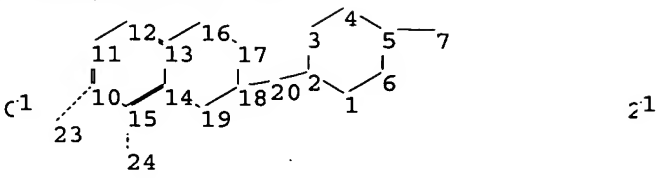


```
chain nodes :
    7  20
ring nodes :
    1  2  3  4  5  6  10  11  12  13  14  15  16  17  18  19  23  24  25  26  27
chain bonds :
    2-20  5-7  18-20
ring bonds :
    1-2  1-6  2-3  3-4  4-5  5-6  10-11  10-15  10-23  11-12  12-13  13-14  13-16  14-15
    14-19  15-24  16-17  17-18  18-19
exact/norm bonds :
    1-2  1-6  2-3  2-20  3-4  4-5  5-6  5-7  10-23  13-16  14-19  15-24  16-17  17-18  18-19
exact bonds :
    18-20
normalized bonds :
    10-11  10-15  11-12  12-13  13-14  14-15


G1:[*1],[*2],[*3]

Match level :
    1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  10:Atom  11:Atom  12:Atom
    13:Atom  14:Atom  15:Atom  16:Atom  17:Atom  18:Atom  19:Atom  20:CLASS  23:Atom  24:Atom
    25:Atom  26:Atom  27:Atom
Generic attributes :
    7:
    Saturation              : Unsaturated
```

10/659537

=>
Uploading C:\Program Files\Stnexp\Queries\10659537.str





chain nodes :
7 20
ring nodes :
1 2 3 4 5 6 10 11 12 13 14 15 16 17 18 19 23 24 25 26 27
chain bonds :
2-20 5-7 18-20
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 10-11 10-15 10-23 11-12 12-13 13-14 13-16
14-15 14-19 15-24 16-17 17-18 18-19
exact/norm bonds :
1-2 1-6 2-3 2-20 3-4 4-5 5-6 5-7 10-23 13-16 14-19 15-24 16-17 17-18
18-19
exact bonds :
18-20
normalized bonds :
10-11 10-15 11-12 12-13 13-14 14-15

G1:[*1],[*2],[*3]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 10:Atom 11:Atom 12:Atom
13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:CLASS 23:Atom
24:Atom 25:Atom 26:Atom 27:Atom
Generic attributes :
7:
Saturation : Unsaturated

L1 STRUCTURE UPLOADED

=> s l1
SAMPLE SEARCH INITIATED 16:32:24 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 7 TO ITERATE

100.0% PROCESSED 7 ITERATIONS 5 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 7 TO 298
PROJECTED ANSWERS: 5 TO 234

L2 5 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 16:32:31 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 89 TO ITERATE

100.0% PROCESSED 89 ITERATIONS 54 ANSWERS
SEARCH TIME: 00.00.01

L3 54 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 161.33 161.75

FILE 'CAPLUS' ENTERED AT 16:32:36 ON 07 FEB 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 7 Feb 2005 VOL 142 ISS 7
FILE LAST UPDATED: 6 Feb 2005 (20050206/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L4 4 L3

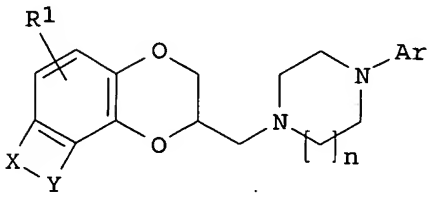
=> d l4 1-4 bib abs hitstr

L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:589245 CAPLUS
DN 141:123658
TI Preparation of antidepressant arylpiperazine derivatives of heterocycle-fused benzodioxans
IN Evrard, Deborah Ann; Zhou, Dahui; Stack, Gary Paul; Venkatesan, Aranapakam Madumbai; Failli, Amedeo A.; Croce, Susan Christman
PA USA
SO U.S. Pat. Appl. Publ., 30 pp., Cont.-in-part of U.S. Provisional Ser. No. 410,082.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2004142926	A1	20040722	US 2003-659537	20030910
WO 2004024731	A1	20040325	WO 2003-US28453	20030911

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2002-410082P P 20020912
US 2003-659537 A 20030910
OS MARPAT 141:123658
GI



I

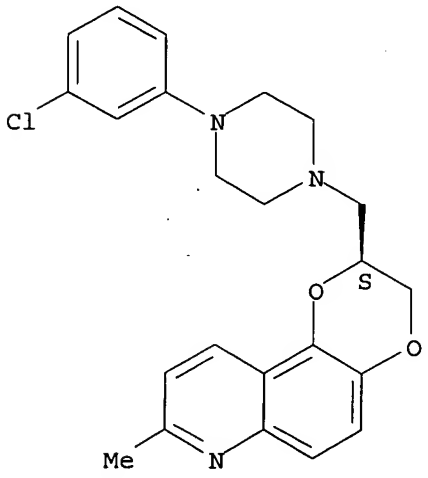
AB The title compds. [I; R1 = H, halo, CN, carboxamido, etc.; XY = N:CR2CR3:N, N:CR2CR4:CH, N:CR2N:CH, N:CR2O, NHCR5:CH; R2, R3 = H, halo, NH2, mono- or dialkylamino, alkyl; R4 = H, alkyl; R5 = H, halo, CF3, pentafluoroethyl, alkyl; Ar = (un)substituted Ph, naphthyl, indolyl, indazolyl, thienyl, etc.; n = 1-2], useful for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as premenstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa and bulimia nervosa, vasomotor flushing, cocaine and alc. addiction, sexual dysfunction and related illnesses, were prepared Thus, reacting [(2S)-8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinolin-2-yl]methyl 4-bromobenzenesulfonate with 3-chlorophenylpiperazine.HCl in the presence of EtN(iso-Pr)2 in DMSO afforded 68% (2S)-2-{[4-(3-chlorophenyl)piperazin-

1-yl]methyl}-8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinoline. The exemplified compds. I were tested for 5-HT transporter affinity, 5-HT1A receptor affinity, and antagonistic activity at 5-HT1A receptors and biol. data were given. The pharmaceutical composition comprising the compound I is claimed.

IT **676130-75-9P 676130-76-0P 676130-77-1P**
676130-78-2P 676130-79-3P 676130-80-6P
676130-81-7P 676130-82-8P 676130-83-9P
676130-84-0P 676130-85-1P 676130-86-2P
676130-87-3P 676130-88-4P 676130-89-5P
676130-90-8P 676130-91-9P 676130-92-0P
676130-93-1P 676130-94-2P 676130-95-3P
676130-96-4P 676130-97-5P 676130-98-6P
676130-99-7P 676131-00-3P 676131-01-4P
676131-02-5P 676131-03-6P 676131-04-7P
676131-05-8P 676131-06-9P 676131-07-0P
676131-08-1P 676131-09-2P 676131-10-5P
676131-11-6P 676131-12-7P 676131-13-8P
676131-14-9P 676131-15-0P 676131-32-1P
676131-33-2P 676131-34-3P 676131-35-4P
676131-36-5P 676131-37-6P 676131-38-7P
676131-39-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antidepressant arylpiperazine derivs. of heterocycle-fused benzodioxans as serotonin reuptake inhibitors and 5-HT1A receptor antagonists)

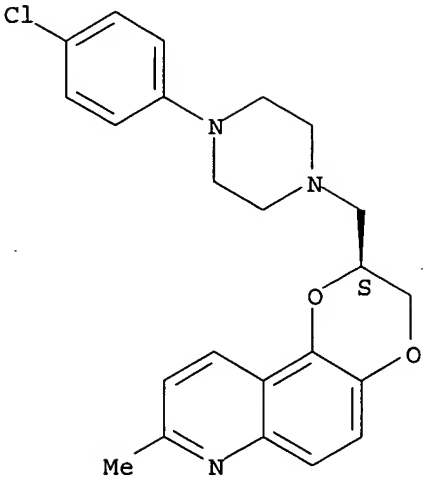
RN 676130-75-9 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(3-chlorophenyl)-1-piperazinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



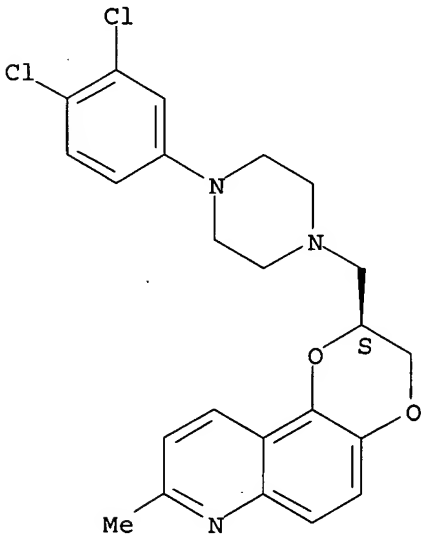
RN 676130-76-0 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(4-chlorophenyl)-1-piperazinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 676130-77-1 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(3,4-dichlorophenyl)-1-piperazinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

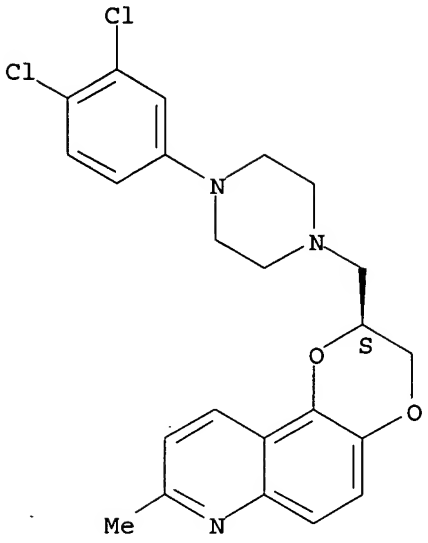


RN 676130-78-2 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(3,4-dichlorophenyl)-1-piperazinyl]methyl]-2,3-dihydro-8-methyl-, (2S)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 676130-77-1
CMF C23 H23 Cl2 N3 O2

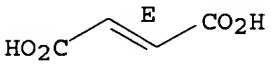
Absolute stereochemistry.



CM 2

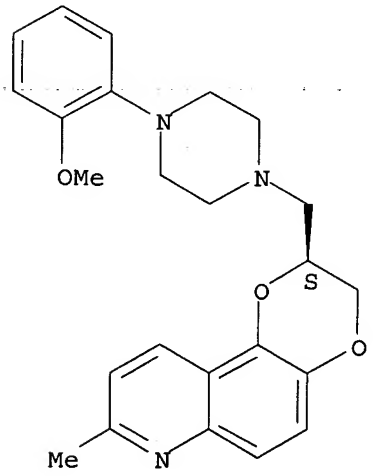
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 676130-79-3 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-2-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

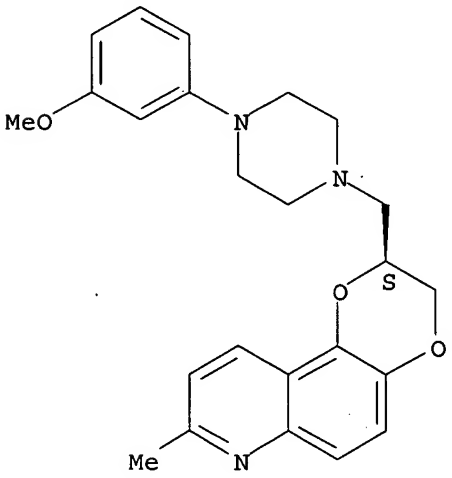
Absolute stereochemistry.



RN 676130-80-6 CAPLUS

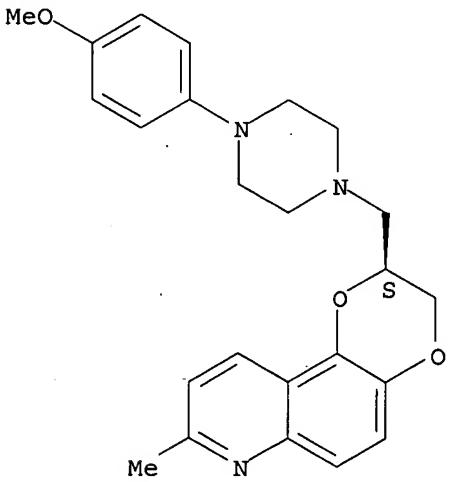
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-2-[[4-(3-methoxyphenyl)-1-piperazinyl]methyl]-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



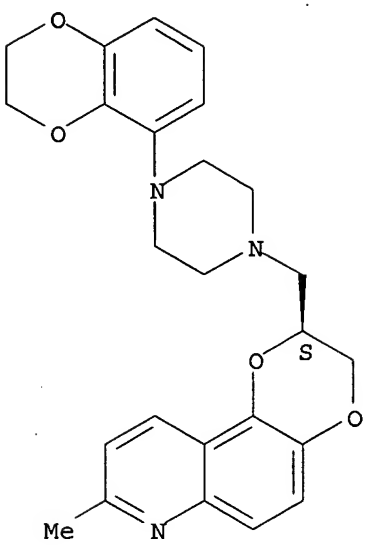
RN 676130-81-7 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-2-[[4-(4-methoxyphenyl)-1-piperazinyl]methyl]-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 676130-82-8 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(2,3-dihydro-1,4-benzodioxin-5-yl)-1-piperazinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

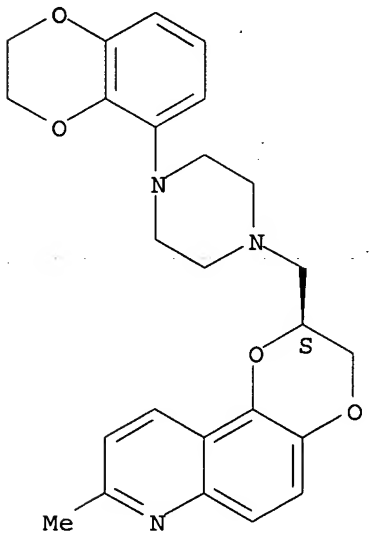


RN 676130-83-9 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(2,3-dihydro-1,4-benzodioxin-5-yl)-1-piperazinyl]methyl]-2,3-dihydro-8-methyl-, (2S)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 676130-82-8
CMF C25 H27 N3 O4

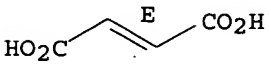
Absolute stereochemistry.



CM 2

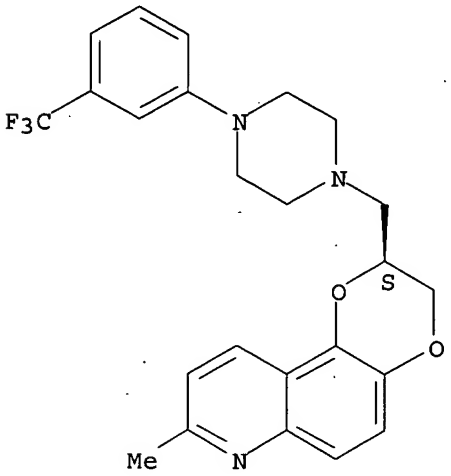
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



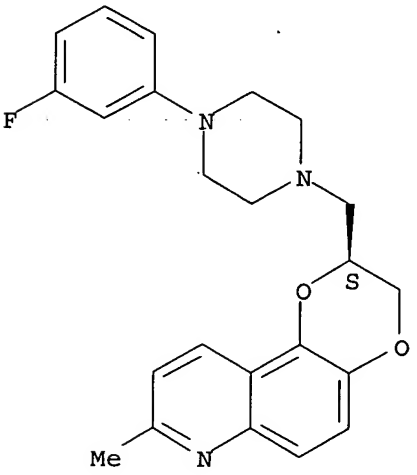
RN 676130-84-0 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-8-methyl-2-[[4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 676130-85-1 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(3-fluorophenyl)-1-piperazinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

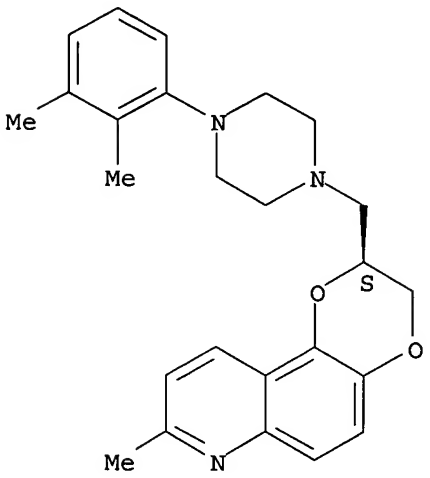
Absolute stereochemistry.



RN 676130-86-2 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(2,3-dimethylphenyl)-1-

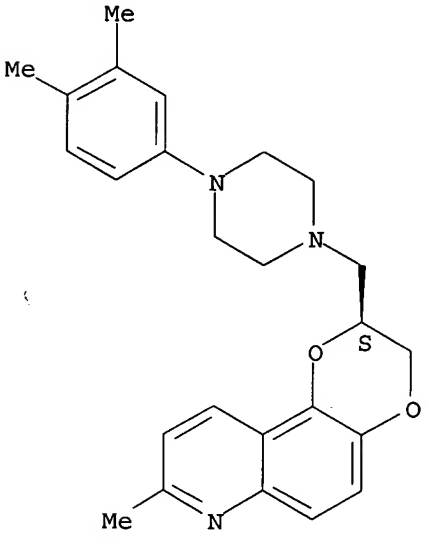
piperazinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



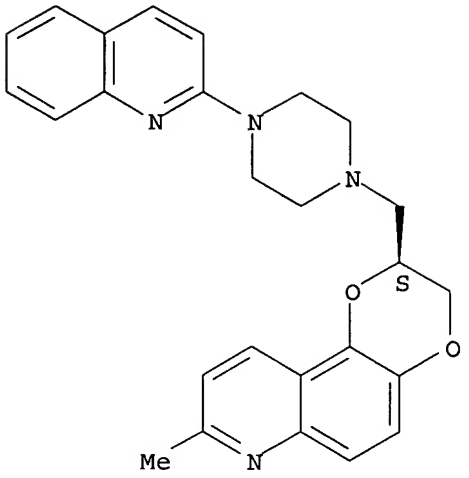
RN 676130-87-3 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(3,4-dimethylphenyl)-1-piperazinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



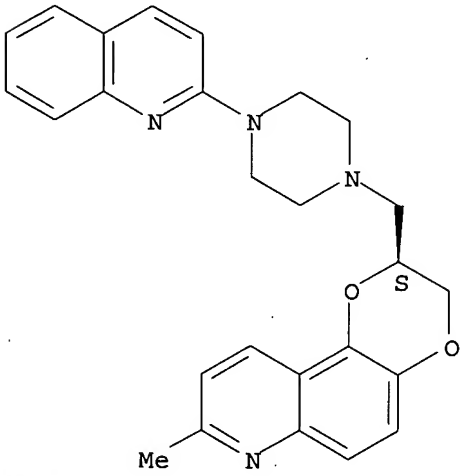
RN 676130-88-4 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-8-methyl-2-[[4-(2-quinolinyl)-1-piperazinyl]methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 676130-89-5 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-8-methyl-2-[[4-(2-quinolinyl)-1-piperazinyl]methyl]-, tetrahydrochloride, (2S)- (9CI) (CA INDEX NAME)

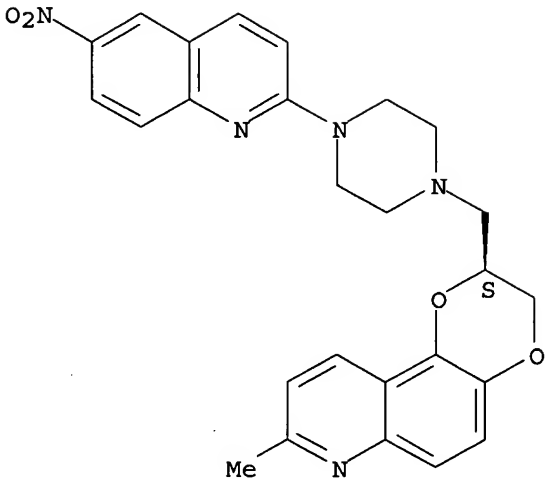
Absolute stereochemistry.



●4 HCl

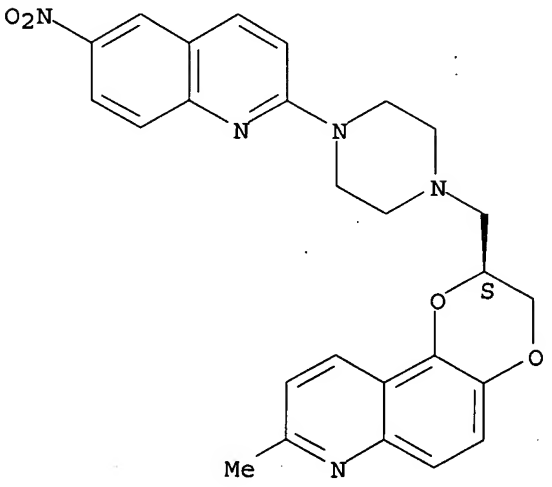
RN 676130-90-8 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-8-methyl-2-[[4-(6-nitro-2-quinolinyl)-1-piperazinyl]methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 676130-91-9 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-8-methyl-2-[[4-(6-nitro-2-quinolinyl)-1-piperazinyl]methyl]-, hydrochloride (4:11), (2S)- (9CI) (CA INDEX NAME)

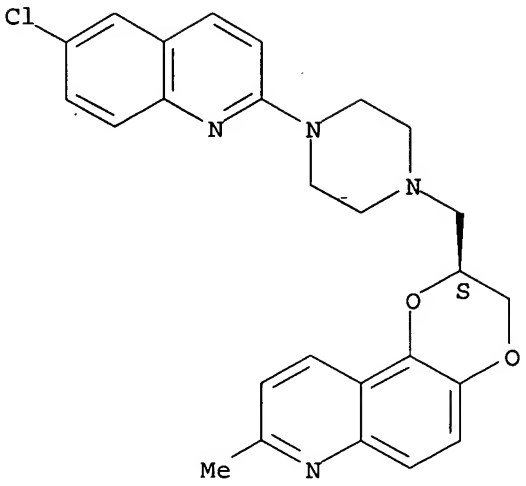
Absolute stereochemistry.



●11/4 HCl

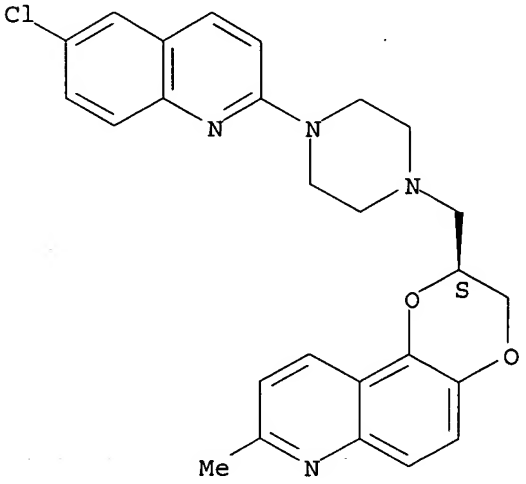
RN 676130-92-0 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(6-chloro-2-quinolinyl)-1-piperazinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 676130-93-1 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(6-chloro-2-quinolinyl)-1-piperazinyl]methyl]-2,3-dihydro-8-methyl-, tetrahydrochloride, (2S)- (9CI) (CA INDEX NAME)

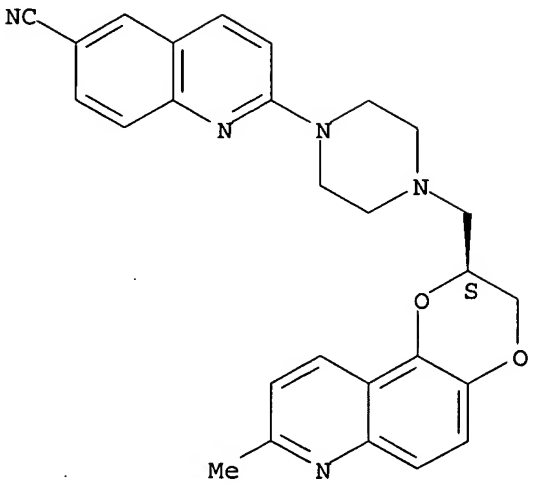
Absolute stereochemistry.



●4 HCl

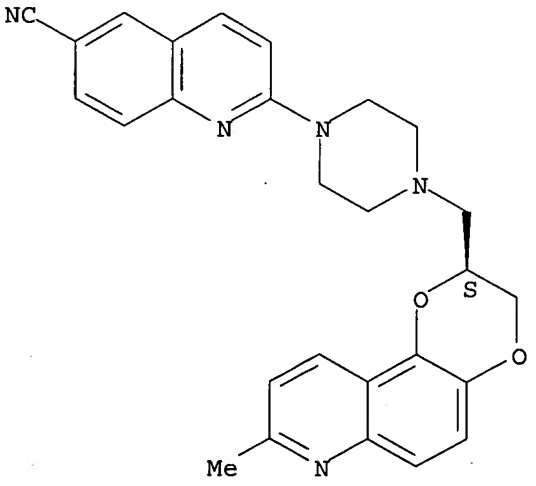
RN 676130-94-2 CAPLUS
CN 6-Quinolinecarbonitrile, 2-[4-[[(2S)-2,3-dihydro-8-methyl-1,4-dioxino[2,3-f]quinolin-2-yl]methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 676130-95-3 CAPLUS
CN 6-Quinolinecarbonitrile, 2-[4-[[(2S)-2,3-dihydro-8-methyl-1,4-dioxino[2,3-f]quinolin-2-yl]methyl]-1-piperazinyl]-, trihydrochloride (9CI) (CA INDEX NAME)

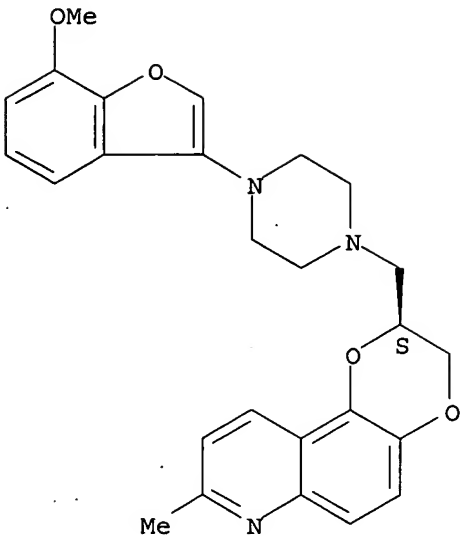
Absolute stereochemistry.



●3 HCl

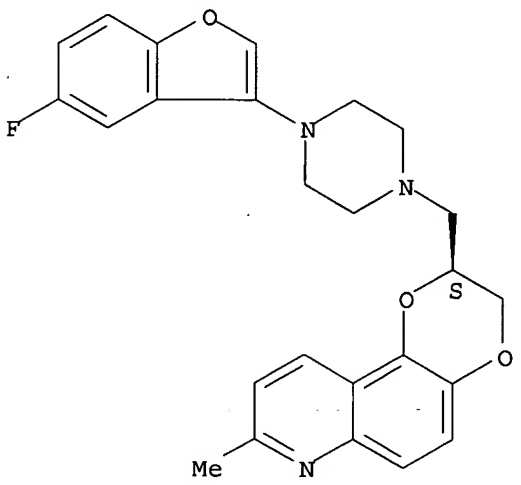
RN 676130-96-4 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-2-[[4-(7-methoxy-3-benzofuranyl)-1-piperazinyl]methyl]-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 676130-97-5 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(5-fluoro-3-benzofuranyl)-1-piperazinyl]methyl]-2,3-dihydro-8-methyl-, hydrochloride, (2S)- (9CI) (CA INDEX NAME)

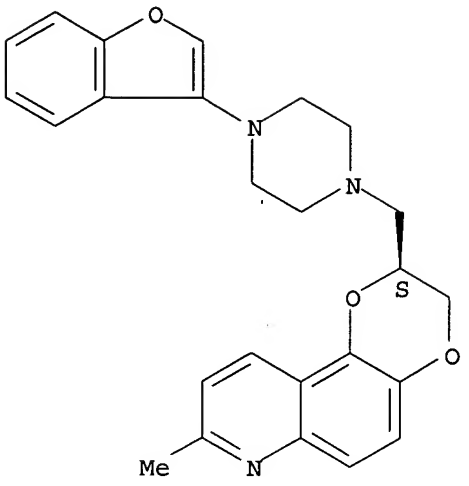
Absolute stereochemistry.



●x HCl

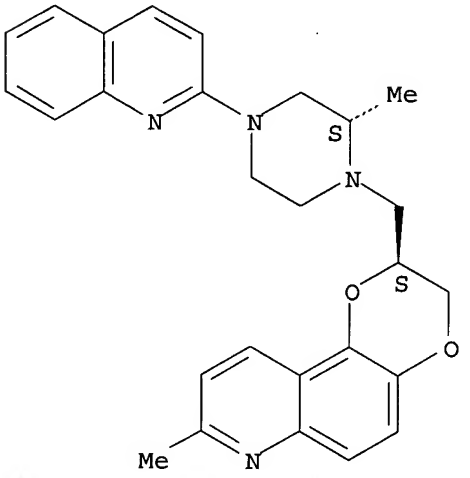
RN 676130-98-6 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(3-benzofuranyl)-1-piperazinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



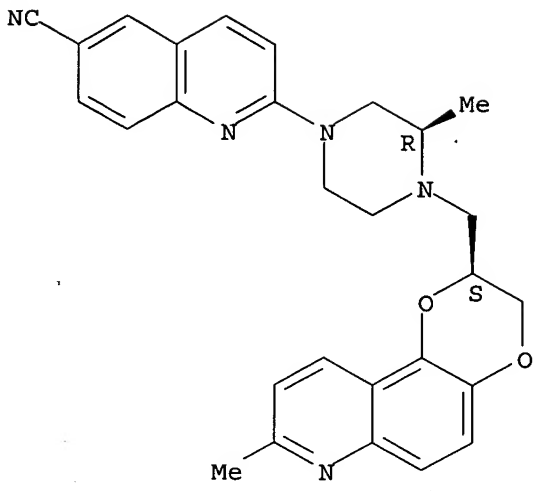
RN 676130-99-7 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-8-methyl-2-[[(2S)-2-methyl-4-(2-quinolinyl)-1-piperazinyl]methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



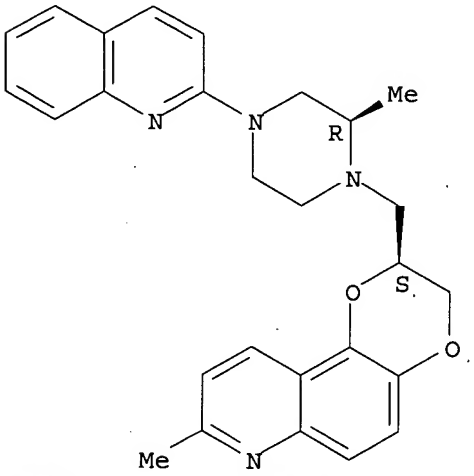
RN 676131-00-3 CAPLUS
CN 6-Quinolinecarbonitrile, 2-[(3R)-4-[[(2S)-2,3-dihydro-8-methyl-1,4-dioxino[2,3-f]quinolin-2-yl]methyl]-3-methyl-1-piperazinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



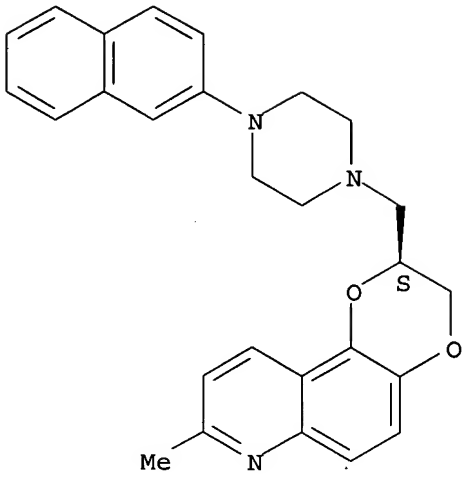
RN 676131-01-4 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-8-methyl-2-[[(2R)-2-methyl-4-(2-quinolinyl)-1-piperazinyl]methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



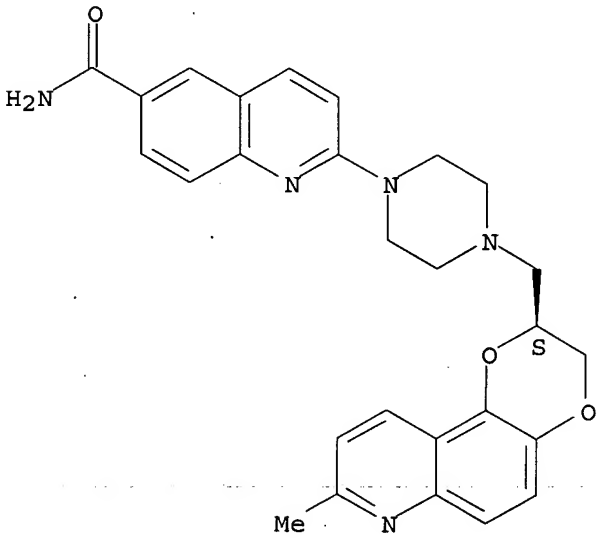
RN 676131-02-5 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-8-methyl-2-[[4-(2-naphthalenyl)-1-piperazinyl]methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



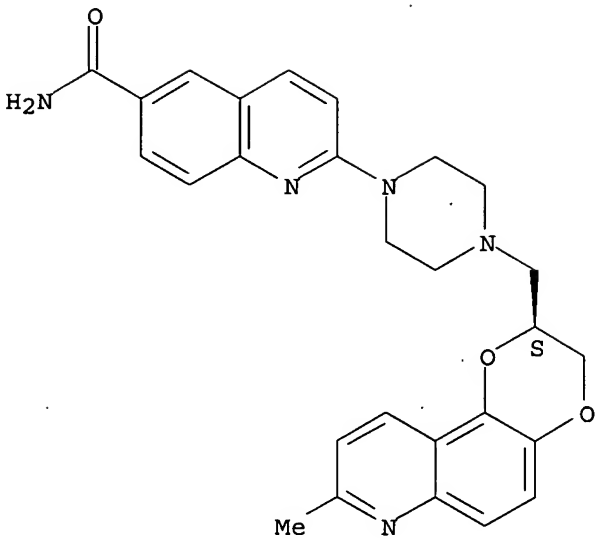
RN 676131-03-6 CAPLUS
CN 6-Quinolinecarboxamide, 2-[4-[[(2S)-2,3-dihydro-8-methyl-1,4-dioxino[2,3-f]quinolin-2-yl]methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 676131-04-7 CAPLUS
CN 6-Quinolinecarboxamide, 2-[4-[[(2S)-2,3-dihydro-8-methyl-1,4-dioxino[2,3-f]quinolin-2-yl]methyl]-1-piperazinyl]-, dihydrochloride (9CI) (CA INDEX NAME)

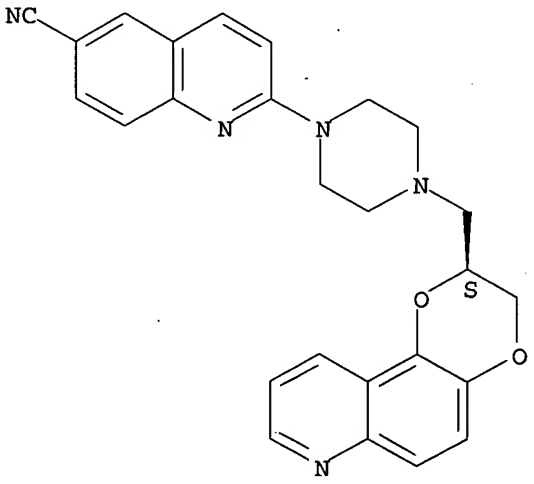
Absolute stereochemistry.



● 2 HCl

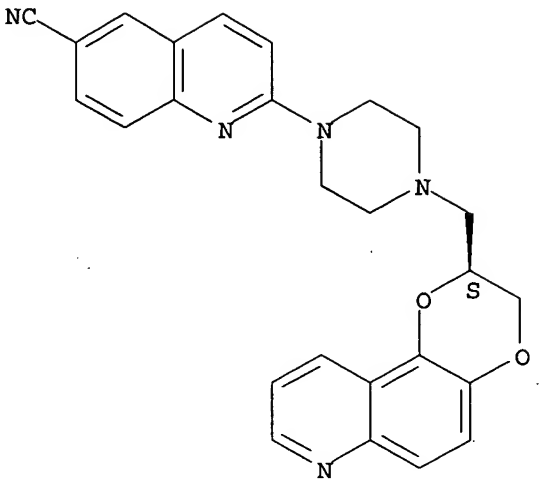
RN 676131-05-8 CAPLUS
CN 6-Quinolinecarbonitrile, 2-[4-[[(2S)-2,3-dihydro-1,4-dioxino[2,3-f]quinolin-2-yl]methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 676131-06-9 CAPLUS
CN 6-Quinolinecarbonitrile, 2-[4-[[(2S)-2,3-dihydro-1,4-dioxino[2,3-f]quinolin-2-yl]methyl]-1-piperazinyl]-, trihydrochloride (9CI) (CA INDEX NAME)

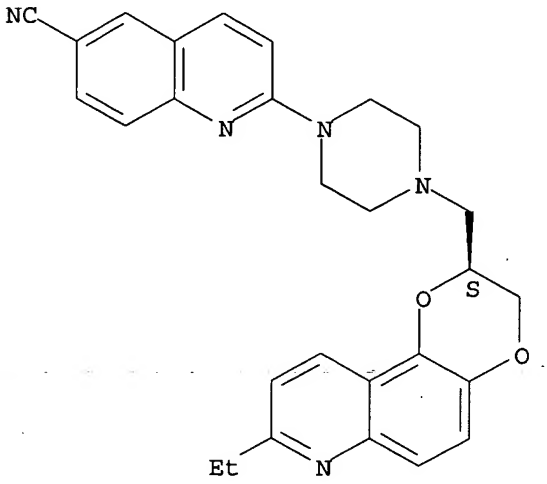
Absolute stereochemistry.



●3 HCl

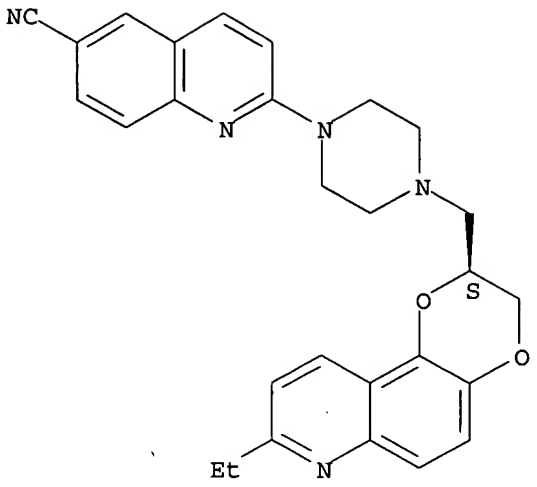
RN 676131-07-0 CAPLUS
CN 6-Quinolinecarbonitrile, 2-[4-[[(2S)-8-ethyl-2,3-dihydro-1,4-dioxino[2,3-f]quinolin-2-yl]methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 676131-08-1 CAPLUS
CN 6-Quinolinecarbonitrile, 2-[4-[[(2S)-8-ethyl-2,3-dihydro-1,4-dioxino[2,3-f]quinolin-2-yl]methyl]-1-piperazinyl]-, trihydrochloride (9CI) (CA INDEX NAME)

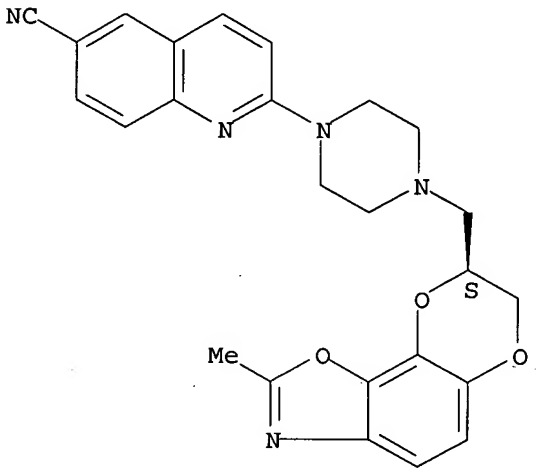
Absolute stereochemistry.



●3 HCl

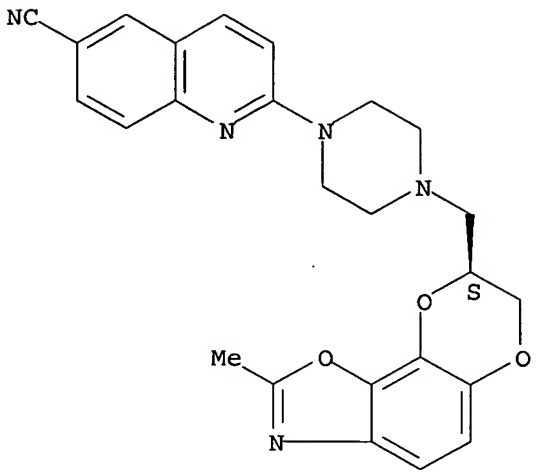
RN 676131-09-2 CAPLUS
CN 6-Quinolinecarbonitrile, 2-[4-[[(8S)-7,8-dihydro-2-methyl[1,4]dioxino[2,3-g]benzoxazol-8-yl]methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 676131-10-5 CAPLUS
CN 6-Quinolinecarbonitrile, 2-[4-[[(8S)-7,8-dihydro-2-methyl[1,4]dioxino[2,3-g]benzoxazol-8-yl]methyl]-1-piperazinyl]-, dihydrochloride (9CI) (CA INDEX NAME)

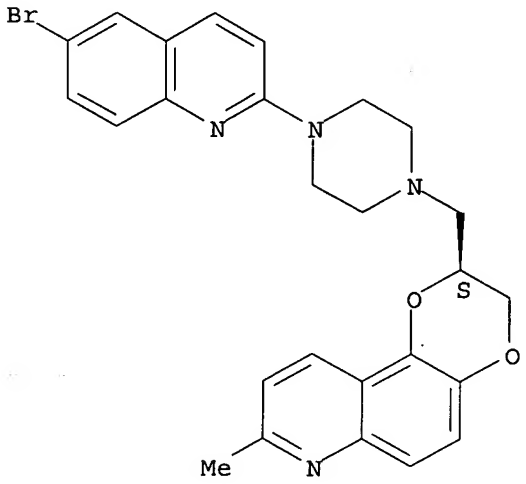
Absolute stereochemistry.



●2 HCl

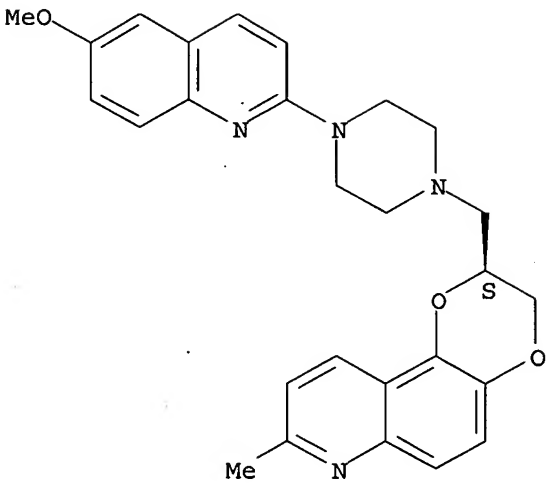
RN 676131-11-6 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(6-bromo-2-quinolinyl)-1-piperazinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



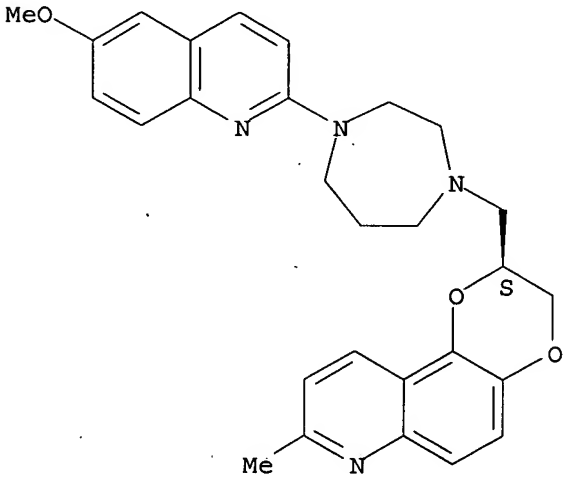
RN 676131-12-7 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-2-[[4-(6-methoxy-2-quinolinyl)-1-piperazinyl]methyl]-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



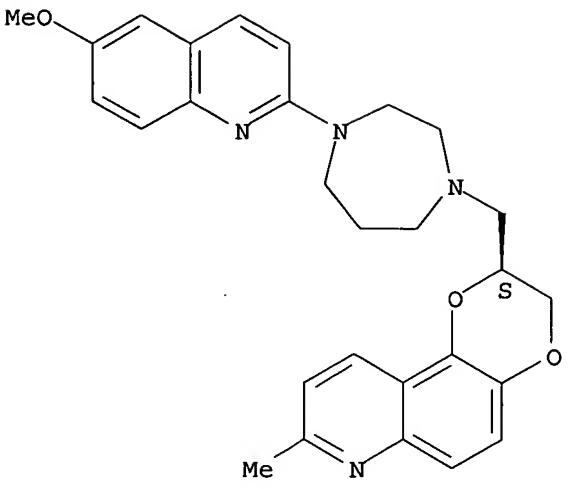
RN 676131-13-8 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[hexahydro-4-(6-methoxy-2-quinolinyl)-1H-1,4-diazepin-1-yl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 676131-14-9 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[hexahydro-4-(6-methoxy-2-quinolinyl)-1H-1,4-diazepin-1-yl]methyl]-2,3-dihydro-8-methyl-, trihydrochloride, (2S)- (9CI) (CA INDEX NAME)

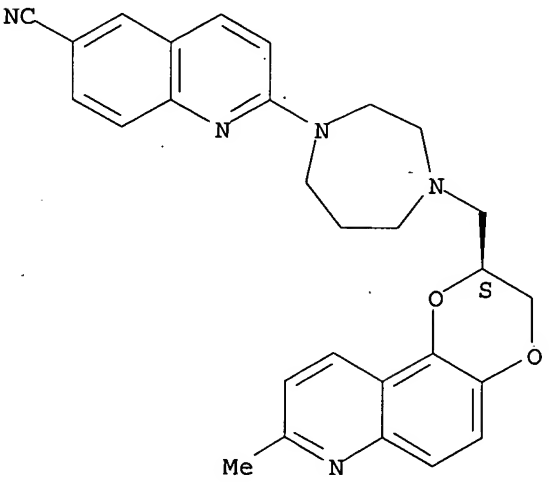
Absolute stereochemistry.



●3 HCl

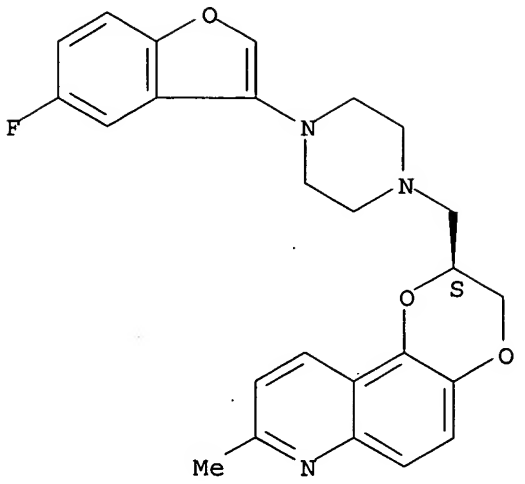
RN 676131-15-0 CAPLUS
CN 6-Quinolinecarbonitrile, 2-[4-[[(2S)-2,3-dihydro-8-methyl-1,4-dioxino[2,3-f]quinolin-2-yl]methyl]hexahydro-1H-1,4-diazepin-1-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



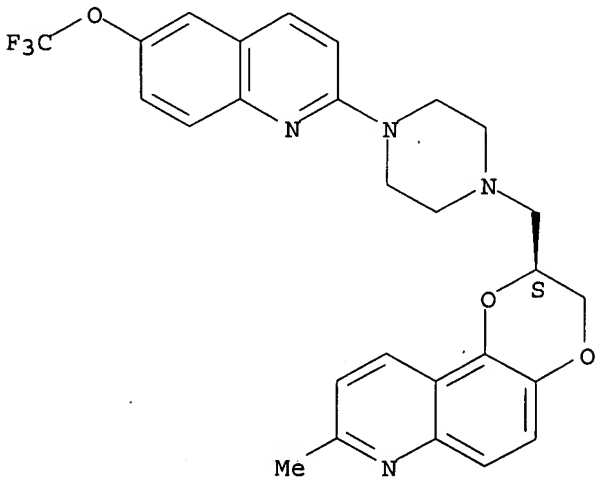
RN 676131-32-1 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(5-fluoro-3-benzofuranyl)-1-piperazinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

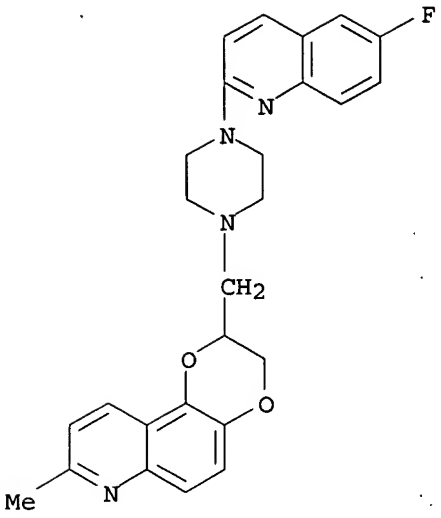


RN 676131-33-2 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-8-methyl-2-[[4-[6-(trifluoromethoxy)-2-quinolinyl]-1-piperazinyl]methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

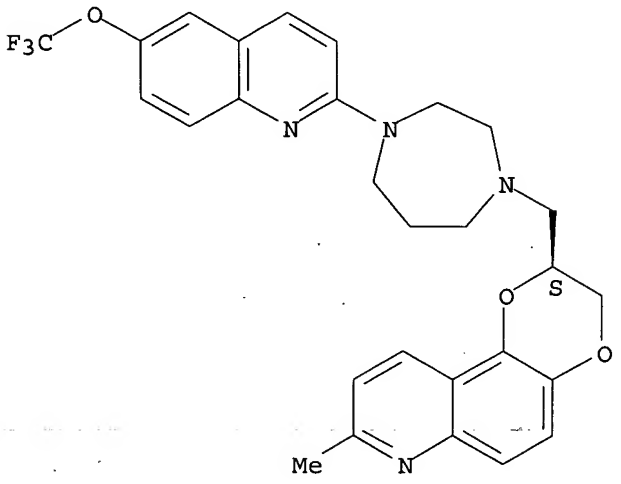


RN 676131-34-3 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(6-fluoro-2-quinolinyl)-1-piperazinyl]methyl]-2,3-dihydro-8-methyl- (9CI) (CA INDEX NAME)

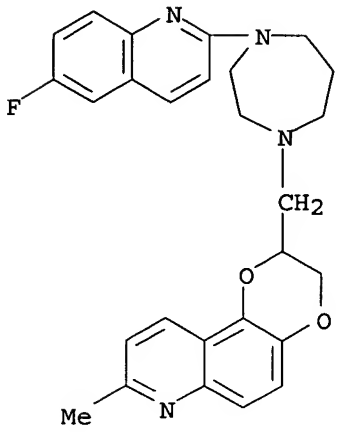


RN 676131-35-4 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[hexahydro-4-[6-(trifluoromethoxy)-2-quinolinyl]-1H-1,4-diazepin-1-yl]methyl]-2,3-dihydro-8-methyl-, (2S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

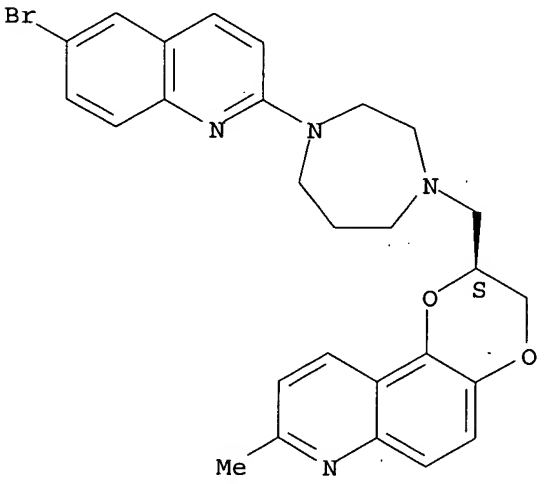


RN 676131-36-5 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(6-fluoro-2-quinolinyl)hexahydro-1H-1,4-diazepin-1-yl]methyl]-2,3-dihydro-8-methyl- (9CI) (CA INDEX NAME)

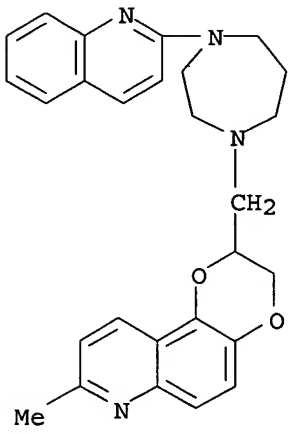


RN 676131-37-6 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(6-bromo-2-quinolinyl)hexahydro-1H-1,4-diazepin-1-yl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

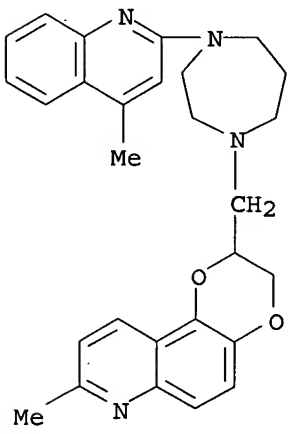
Absolute stereochemistry.



RN 676131-38-7 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[hexahydro-4-(2-quinolinyl)-1H-1,4-diazepin-1-yl]methyl]-2,3-dihydro-8-methyl- (9CI) (CA INDEX NAME)



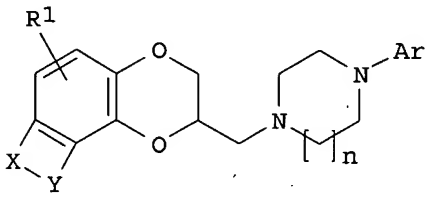
RN 676131-39-8 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[hexahydro-4-(4-methyl-2-quinolinyl)-1H-1,4-diazepin-1-yl]methyl]-2,3-dihydro-8-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:252515 CAPLUS
DN 140:287410
TI Preparation of antidepressant arylpiperazine derivatives of heterocycle-fused benzodioxans
IN Evrard, Deborah A.; Zhou, Dahui; Stack, Gary Paul; Venkatesan, Arenapakam Madumbai; Failli, Amedeo A.; Croce, Susan Christman
PA Wyeth, John, and Brother Ltd., USA
SO PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004024731	A1	20040325	WO 2003-US28453	20030911
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004142926	A1	20040722	US 2003-659537	20030910
PRAI US 2002-410082P	P	20020912		
US 2003-659537	A	20030910		

OS MARPAT 140:287410
GI



I

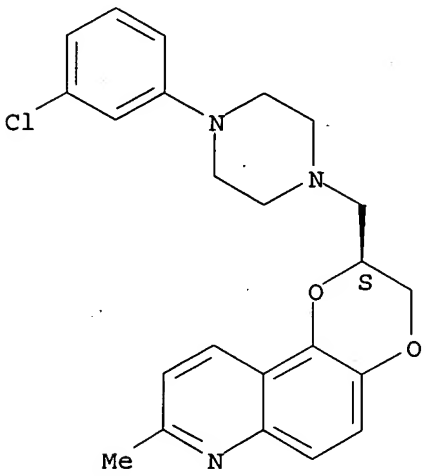
AB The title compds. [R1 = H, halo, CN, carboxamido, etc.; XY = N:CR2CR3:N, N:CR2CR4:CH, N:CR2N:CH, N:CR2O, NHCR5:CH; R2, R3 = H, halo, NH2, mono-or dialkylamino, alkyl; R4 = H, alkyl; R5 = H, halo, CF3, pentafluoroethyl, alkyl; Ar = (un)substituted Ph, naphthyl, indoleyl, indazolyl, thienyl, etc.; n = 1-2], useful for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as premenstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa and bulimia nervosa, vasomotor flushing, cocaine and alc. addiction, sexual dysfunction and related illnesses, were prepared Thus, reacting [(2S)-8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinolin-2-yl]methyl 4-bromobenzenesulfonate with 3-chlorophenylpiperazine.HCl in the presence of EtN(iso-Pr)2 in DMSO afforded 68% (2S)-2-{[4-(3-chlorophenyl)piperazin-1-yl]methyl}-8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinoline. The exemplified compds. I were

tested for 5-HT transporter affinity, 5-HT1A receptor affinity, and antagonistic activity at 5-HT1A receptors and biol. data were given. The pharmaceutical composition comprising the compound I is claimed.

IT **676130-75-9P 676130-76-0P 676130-77-1P**
676130-78-2P 676130-79-3P 676130-80-6P
676130-81-7P 676130-82-8P 676130-83-9P
676130-84-0P 676130-85-1P 676130-86-2P
676130-87-3P 676130-88-4P 676130-89-5P
676130-90-8P 676130-91-9P 676130-92-0P
676130-93-1P 676130-94-2P 676130-95-3P
676130-96-4P 676130-97-5P 676130-98-6P
676130-99-7P 676131-00-3P 676131-01-4P
676131-02-5P 676131-03-6P 676131-04-7P
676131-05-8P 676131-06-9P 676131-07-0P
676131-08-1P 676131-09-2P 676131-10-5P
676131-11-6P 676131-12-7P 676131-13-8P
676131-14-9P 676131-15-0P 676131-32-1P
676131-33-2P 676131-34-3P 676131-35-4P
676131-36-5P 676131-37-6P 676131-38-7P
676131-39-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antidepressant arylpiperazine derivs. of heterocycle-fused benzodioxans as serotonin reuptake inhibitors and 5-HT1A receptor antagonists)

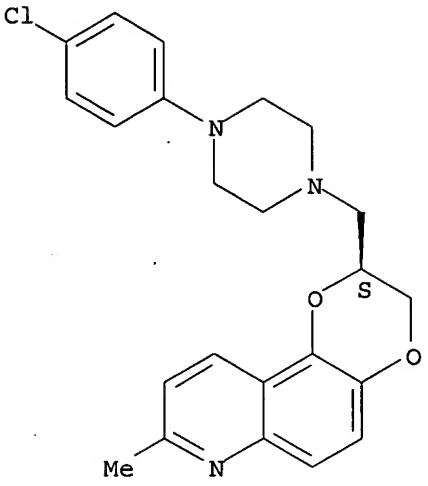
RN 676130-75-9 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(3-chlorophenyl)-1-piperazinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



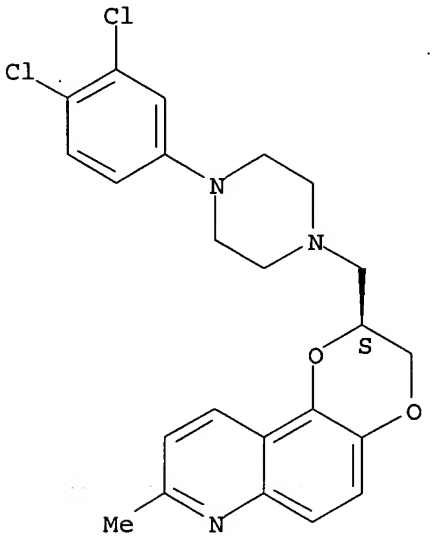
RN 676130-76-0 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(4-chlorophenyl)-1-piperazinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 676130-77-1 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(3,4-dichlorophenyl)-1-piperazinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

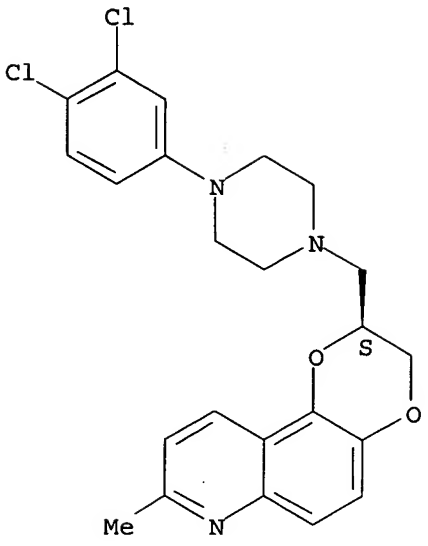


RN 676130-78-2 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(3,4-dichlorophenyl)-1-piperazinyl]methyl]-2,3-dihydro-8-methyl-, (2S)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 676130-77-1
CMF C23 H23 Cl2 N3 O2

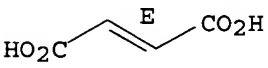
Absolute stereochemistry.



CM 2

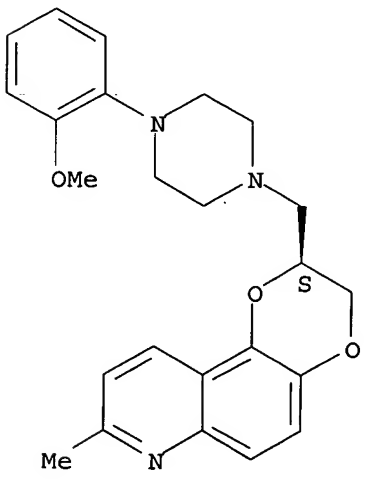
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 676130-79-3 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-2-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

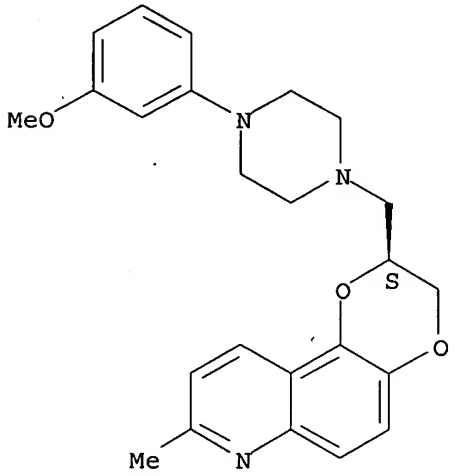
Absolute stereochemistry.



RN 676130-80-6 CAPLUS

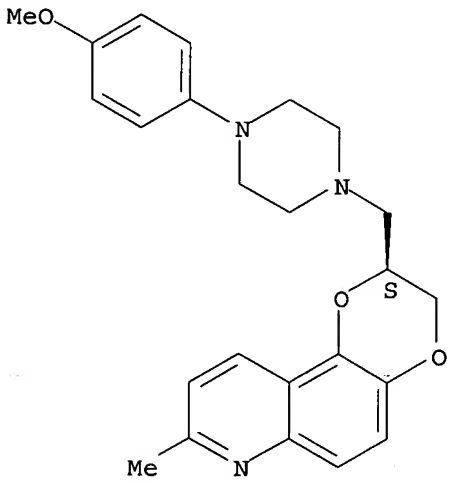
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-2-[[4-(3-methoxyphenyl)-1-piperazinyl]methyl]-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



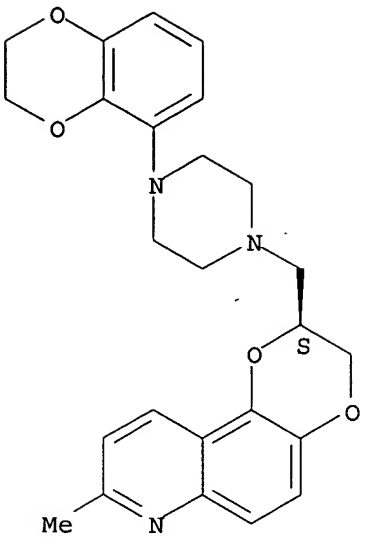
RN 676130-81-7 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-2-[[4-(4-methoxyphenyl)-1-piperazinyl]methyl]-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 676130-82-8 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(2,3-dihydro-1,4-benzodioxin-5-yl)-1-piperazinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

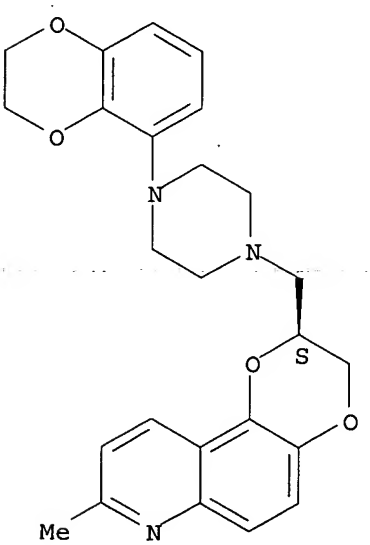


RN 676130-83-9 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(2,3-dihydro-1,4-benzodioxin-5-yl)-1-piperazinyl]methyl]-2,3-dihydro-8-methyl-, (2S)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 676130-82-8
CMF C25 H27 N3 O4

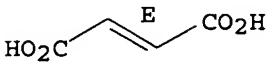
Absolute stereochemistry.



CM 2

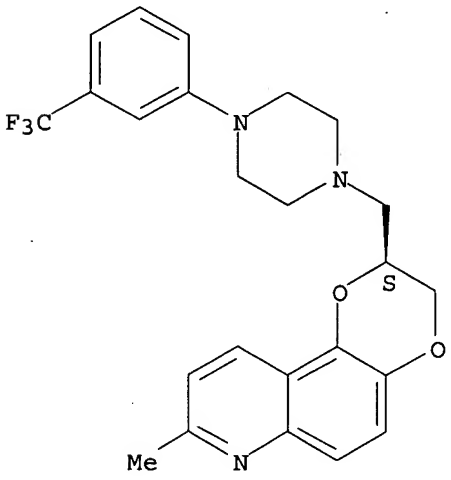
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



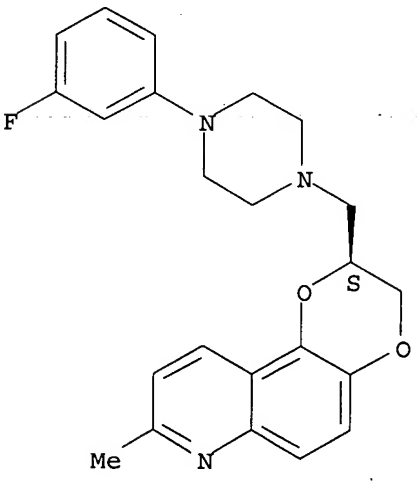
RN 676130-84-0 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-8-methyl-2-[[4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 676130-85-1 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(3-fluorophenyl)-1-piperazinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

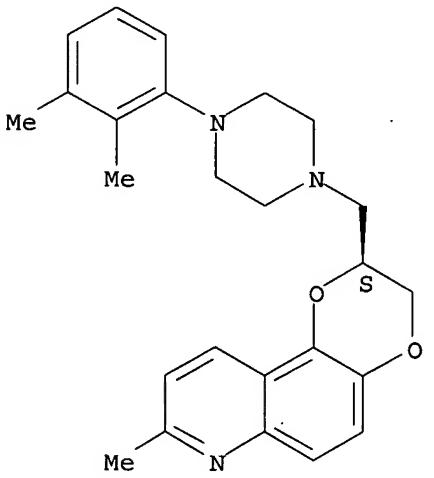
Absolute stereochemistry.



RN 676130-86-2 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(2,3-dimethylphenyl)-1-

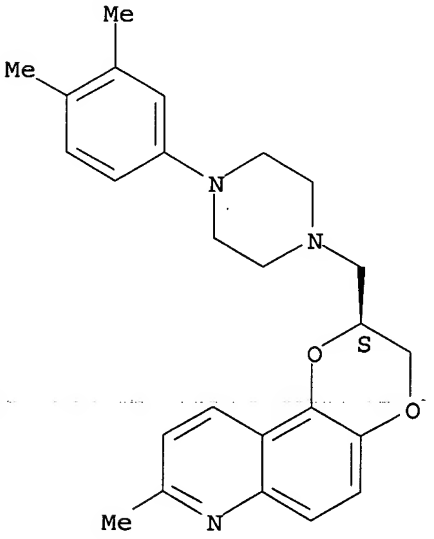
piperazinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



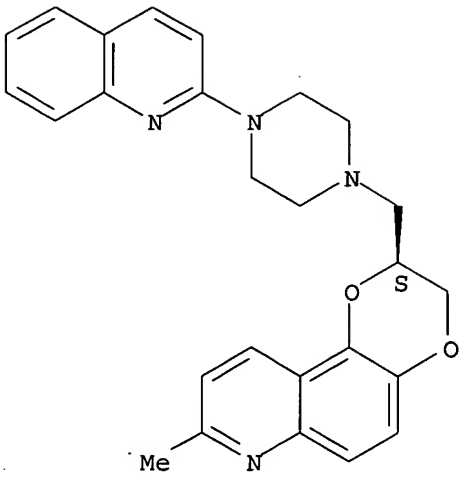
RN 676130-87-3 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(3,4-dimethylphenyl)-1-piperazinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



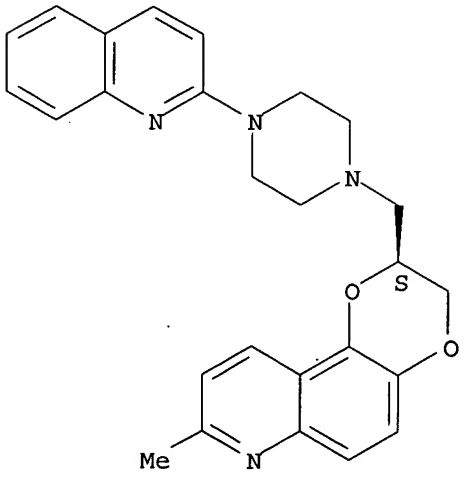
RN 676130-88-4 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-8-methyl-2-[[4-(2-quinolinyl)-1-piperazinyl]methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 676130-89-5 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-8-methyl-2-[[4-(2-quinolinyl)-1-piperazinyl]methyl]-, tetrahydrochloride, (2S)- (9CI) (CA INDEX NAME)

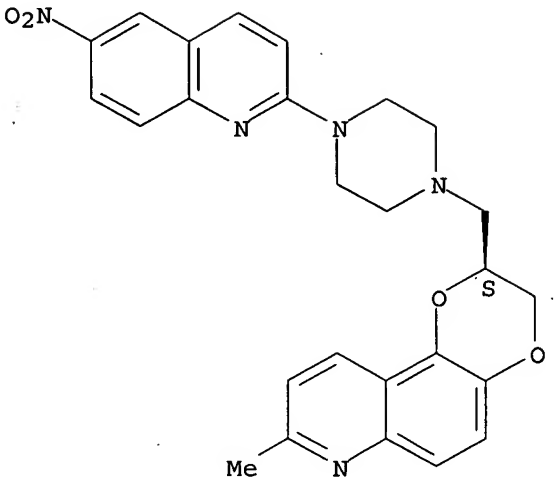
Absolute stereochemistry.



●4 HCl

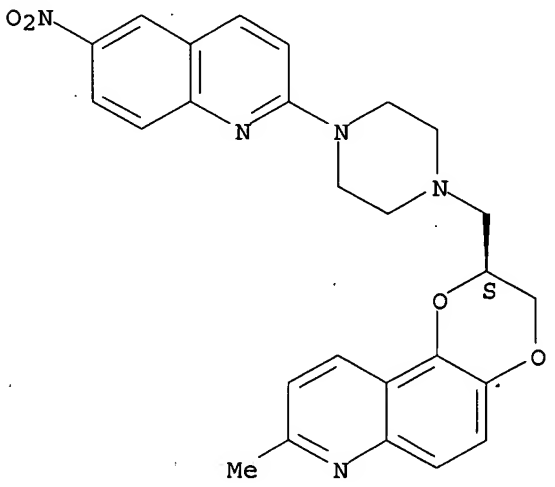
RN 676130-90-8 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-8-methyl-2-[[4-(6-nitro-2-quinolinyl)-1-piperazinyl]methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 676130-91-9 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-8-methyl-2-[[4-(6-nitro-2-quinolinyl)-1-piperazinyl]methyl]-, hydrochloride (4:11), (2S)- (9CI) (CA INDEX NAME)

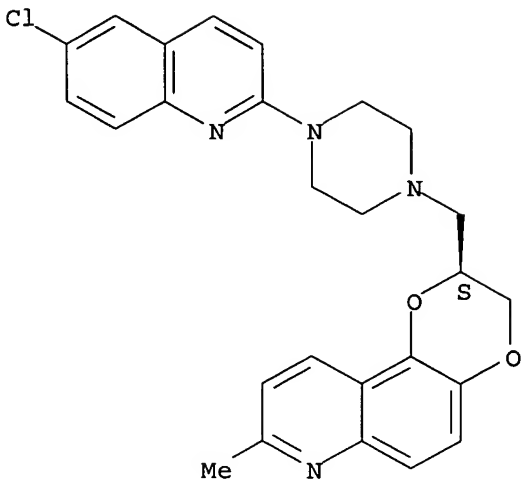
Absolute stereochemistry.



●11/4 HCl

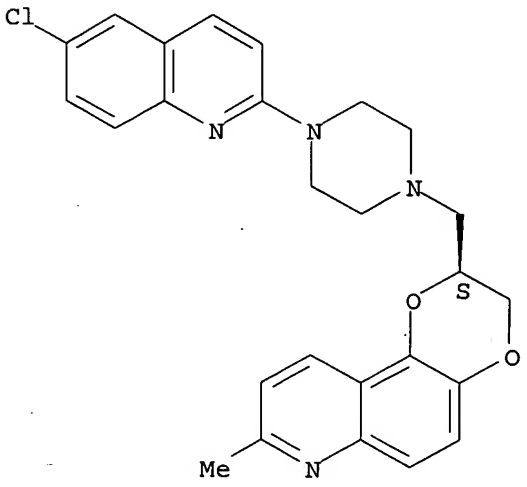
RN 676130-92-0 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(6-chloro-2-quinolinyl)-1-piperazinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 676130-93-1 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(6-chloro-2-quinolinyl)-1-piperazinyl]methyl]-2,3-dihydro-8-methyl-, tetrahydrochloride, (2S)- (9CI) (CA INDEX NAME)

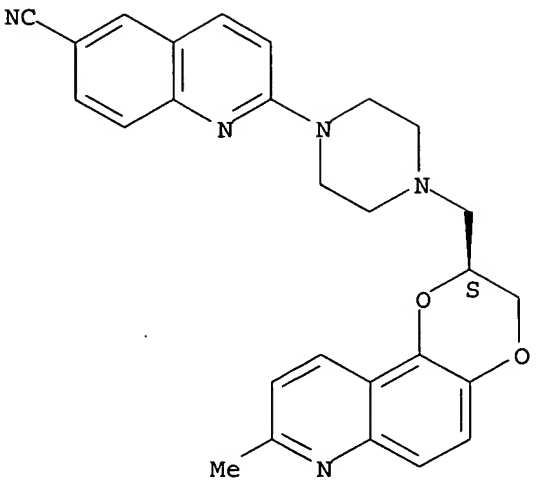
Absolute stereochemistry.



●4 HCl

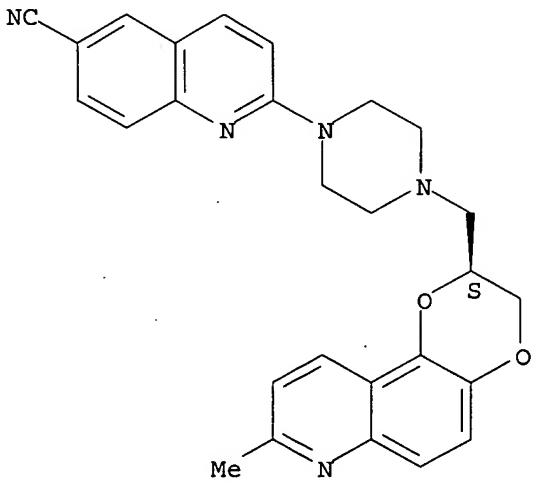
RN 676130-94-2 CAPLUS
CN 6-Quinolinecarbonitrile, 2-[4-[[(2S)-2,3-dihydro-8-methyl-1,4-dioxino[2,3-f]quinolin-2-yl]methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 676130-95-3 CAPLUS
CN 6-Quinolinecarbonitrile, 2-[4-[[(2S)-2,3-dihydro-8-methyl-1,4-dioxino[2,3-f]quinolin-2-yl]methyl]-1-piperazinyl]-, trihydrochloride (9CI) (CA INDEX NAME)

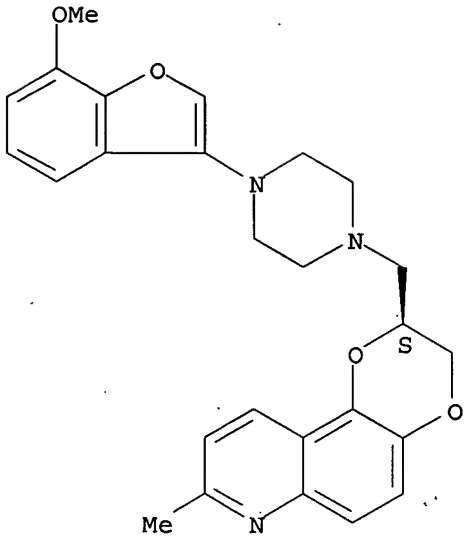
Absolute stereochemistry.



●3 HCl

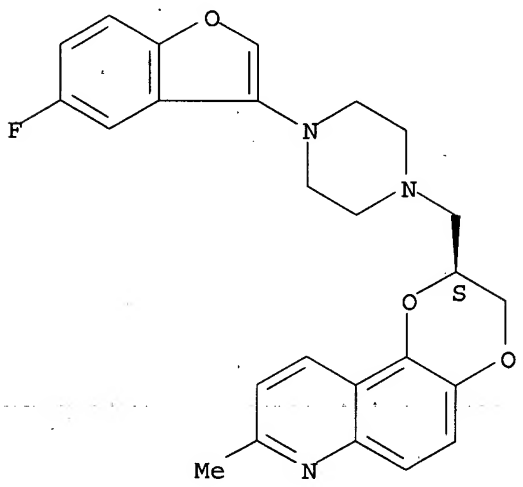
RN 676130-96-4 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-2-[[4-(7-methoxy-3-benzofuranyl)-1-piperazinyl]methyl]-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 676130-97-5 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(5-fluoro-3-benzofuranyl)-1-piperazinyl]methyl]-2,3-dihydro-8-methyl-, hydrochloride, (2S)- (9CI) (CA INDEX NAME)

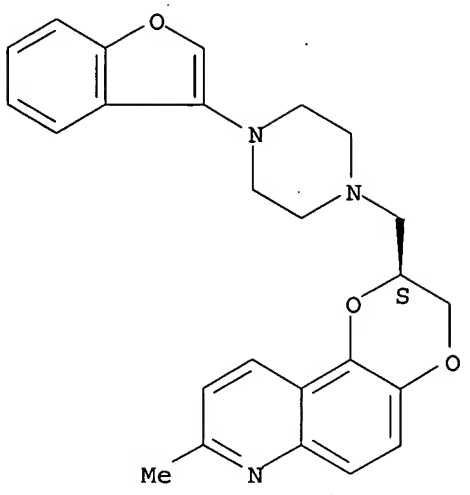
Absolute stereochemistry.



●x HCl

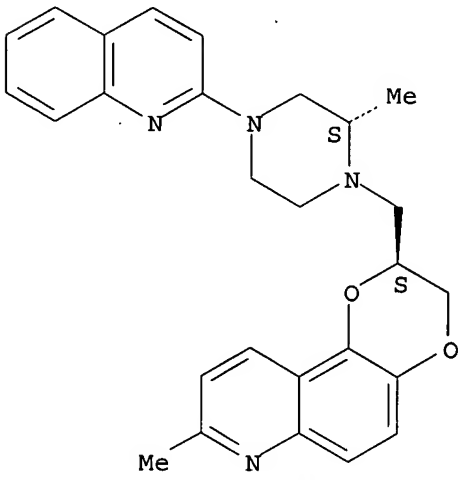
RN 676130-98-6 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(3-benzofuranyl)-1-piperazinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



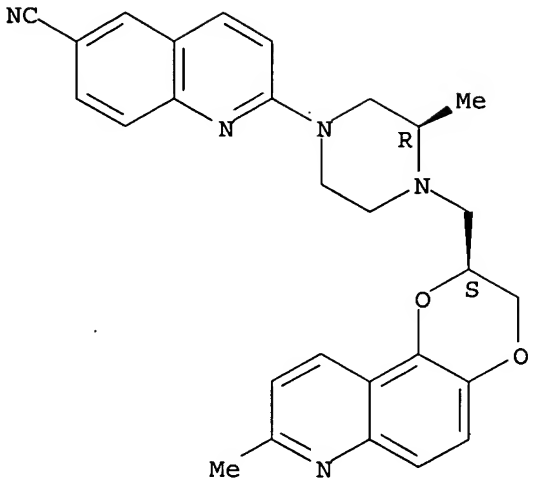
RN 676130-99-7 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-8-methyl-2-[[(2S)-2-methyl-4-(2-quinolinyl)-1-piperazinyl]methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



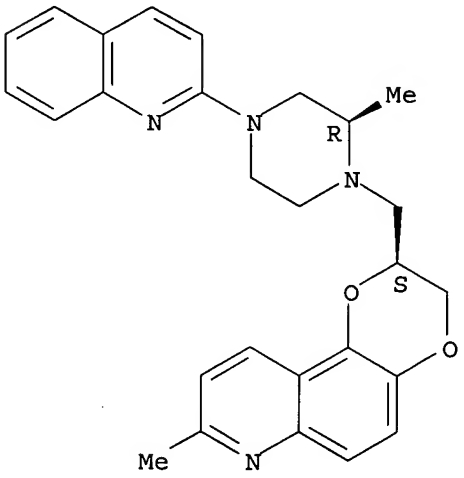
RN 676131-00-3 CAPLUS
CN 6-Quinolinecarbonitrile, 2-[(3R)-4-[[(2S)-2,3-dihydro-8-methyl-1,4-dioxino[2,3-f]quinolin-2-yl]methyl]-3-methyl-1-piperazinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



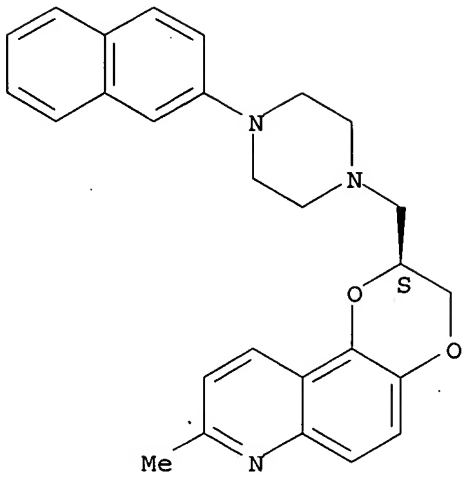
RN 676131-01-4 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-8-methyl-2-[[(2R)-2-methyl-4-(2-quinolinyl)-1-piperazinyl]methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



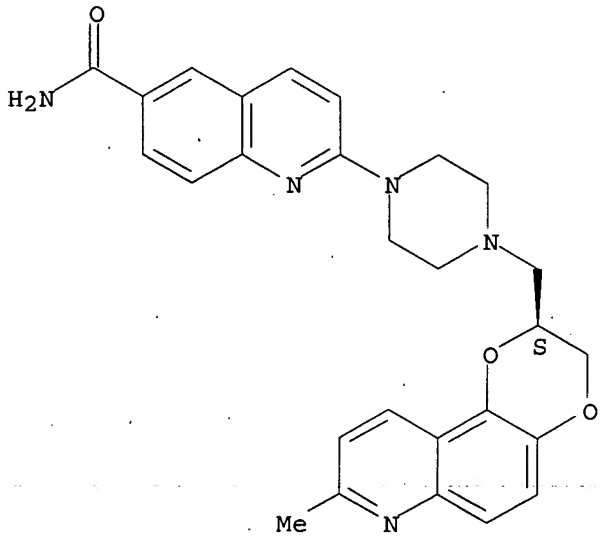
RN 676131-02-5 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-8-methyl-2-[[4-(2-naphthalenyl)-1-piperazinyl]methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



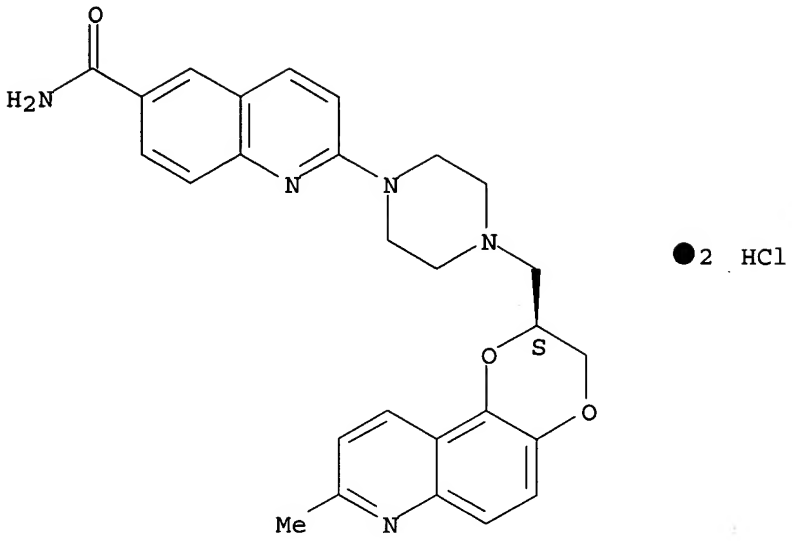
RN 676131-03-6 CAPLUS
CN 6-Quinolinecarboxamide, 2-[4-[[(2S)-2,3-dihydro-8-methyl-1,4-dioxino[2,3-f]quinolin-2-yl]methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 676131-04-7 CAPLUS
CN 6-Quinolinecarboxamide, 2-[4-[[(2S)-2,3-dihydro-8-methyl-1,4-dioxino[2,3-f]quinolin-2-yl]methyl]-1-piperazinyl]-, dihydrochloride (9CI) (CA INDEX NAME)

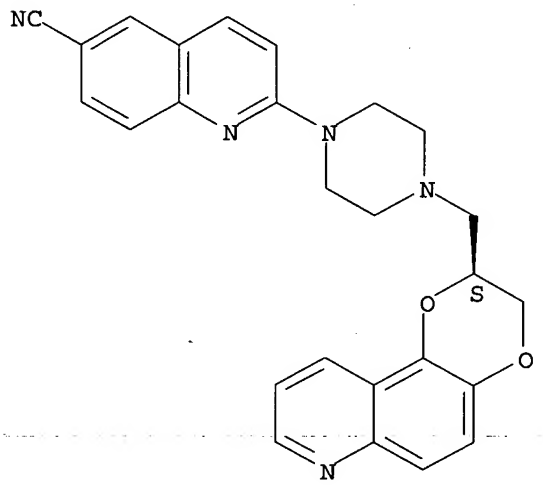
Absolute stereochemistry.



● 2 HCl

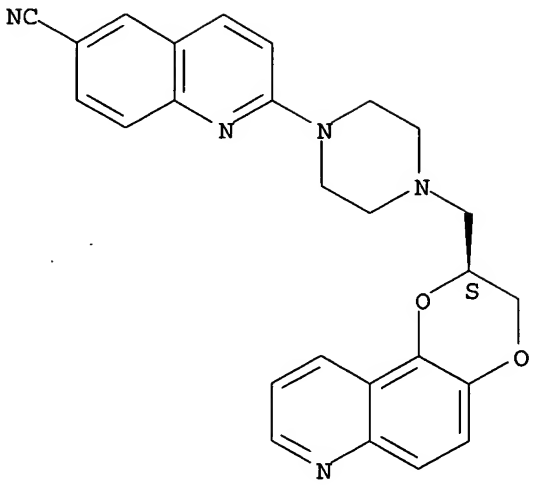
RN 676131-05-8 CAPLUS
CN 6-Quinolinecarbonitrile, 2-[4-[[(2S)-2,3-dihydro-1,4-dioxino[2,3-f]quinolin-2-yl]methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 676131-06-9 CAPLUS
CN 6-Quinolinecarbonitrile, 2-[4-[[(2S)-2,3-dihydro-1,4-dioxino[2,3-f]quinolin-2-yl]methyl]-1-piperazinyl]-, trihydrochloride (9CI) (CA INDEX NAME)

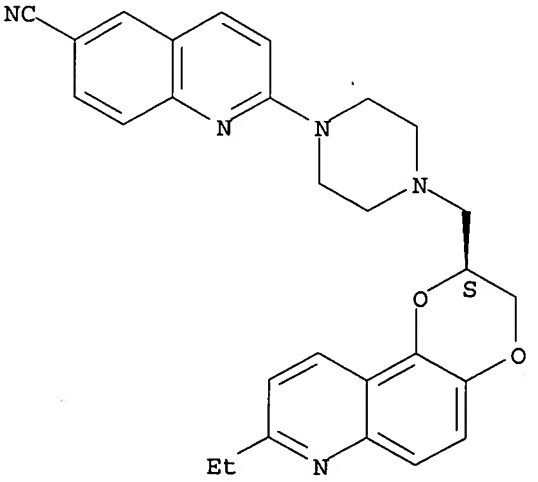
Absolute stereochemistry.



●3 HCl

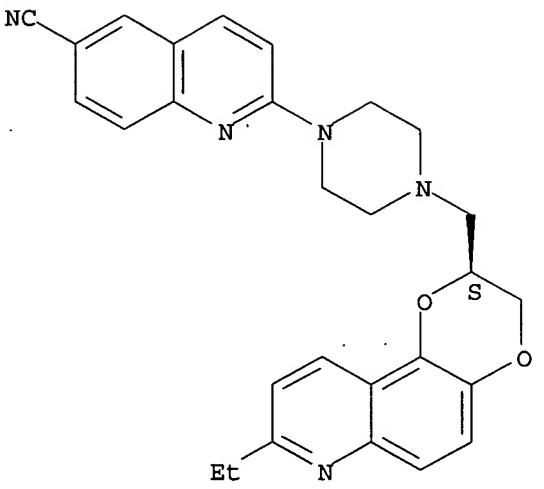
RN 676131-07-0 CAPLUS
CN 6-Quinolinecarbonitrile, 2-[4-[[(2S)-8-ethyl-2,3-dihydro-1,4-dioxino[2,3-f]quinolin-2-yl]methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 676131-08-1 CAPLUS
CN 6-Quinolinecarbonitrile, 2-[4-[[(2S)-8-ethyl-2,3-dihydro-1,4-dioxino[2,3-f]quinolin-2-yl]methyl]-1-piperazinyl]-, trihydrochloride (9CI) (CA INDEX NAME)

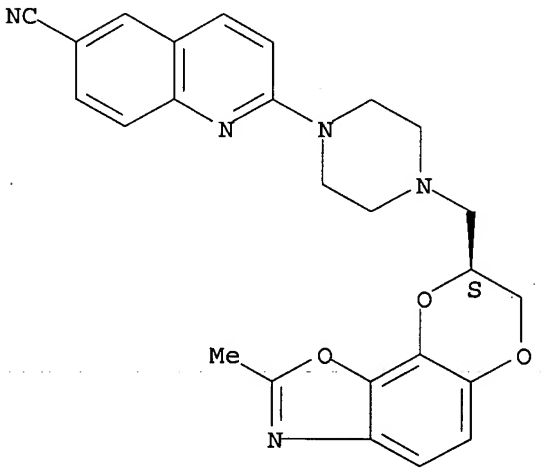
Absolute stereochemistry.



● 3 HCl

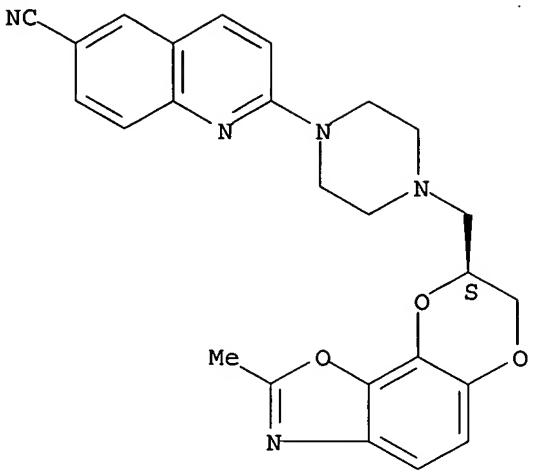
RN 676131-09-2 CAPLUS
CN 6-Quinolinecarbonitrile, 2-[4-[[(8S)-7,8-dihydro-2-methyl[1,4]dioxino[2,3-g]benzoxazol-8-yl]methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 676131-10-5 CAPLUS
CN 6-Quinolinecarbonitrile, 2-[4-[[(8S)-7,8-dihydro-2-methyl[1,4]dioxino[2,3-g]benzoxazol-8-yl]methyl]-1-piperazinyl]-, dihydrochloride (9CI) (CA INDEX NAME)

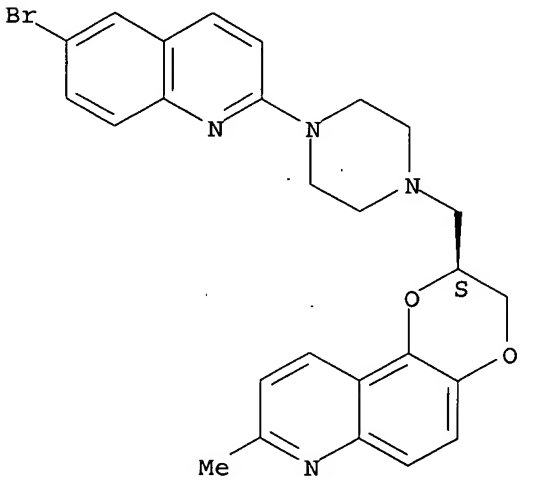
Absolute stereochemistry.



●2 HCl

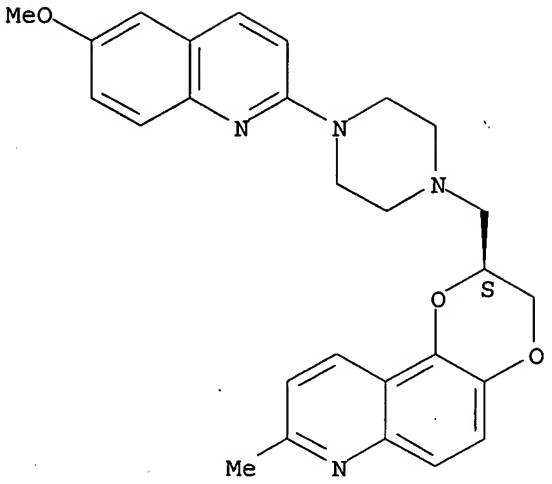
RN 676131-11-6 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(6-bromo-2-quinolinyl)-1-piperazinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



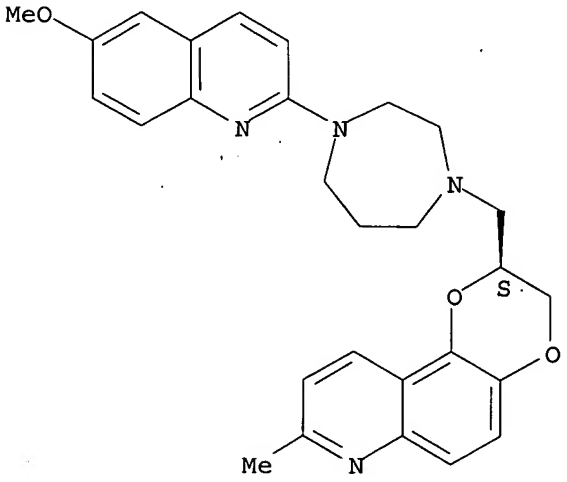
RN 676131-12-7 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-2-[[4-(6-methoxy-2-quinolinyl)-1-piperazinyl]methyl]-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



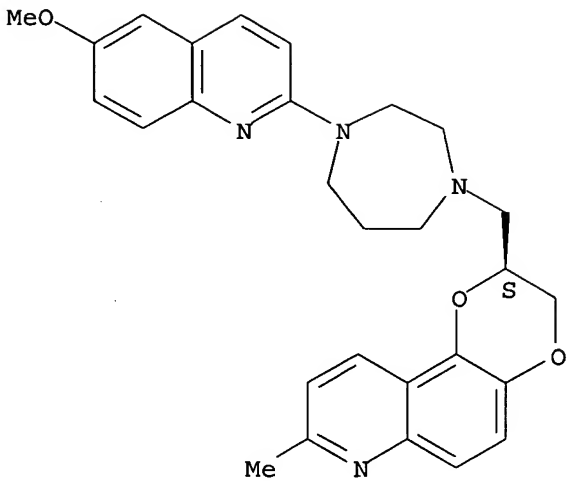
RN 676131-13-8 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[hexahydro-4-(6-methoxy-2-quinolinyl)-1H-1,4-diazepin-1-yl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 676131-14-9 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[hexahydro-4-(6-methoxy-2-quinolinyl)-1H-1,4-diazepin-1-yl]methyl]-2,3-dihydro-8-methyl-, trihydrochloride, (2S)-(9CI) (CA INDEX NAME)

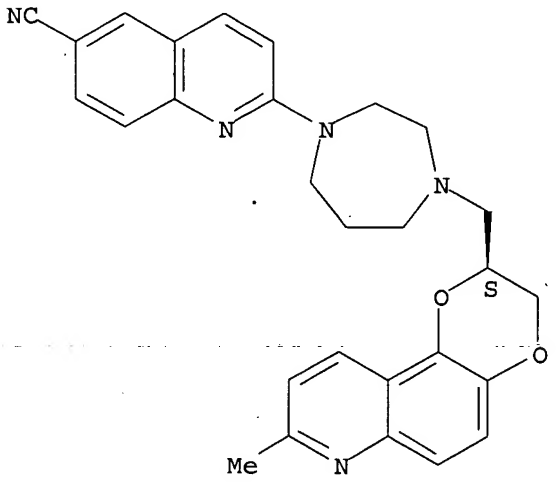
Absolute stereochemistry.



● 3 HCl

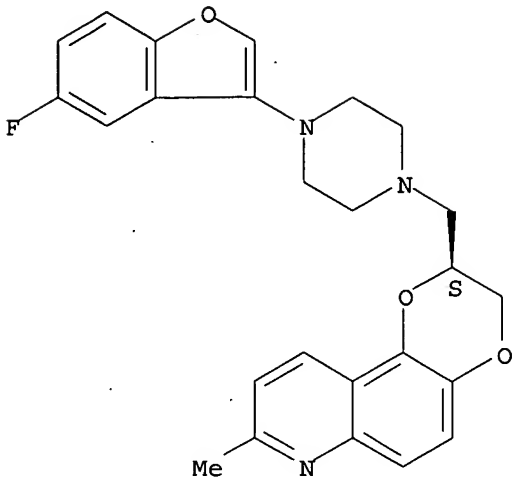
RN 676131-15-0 CAPLUS
CN 6-Quinolinecarbonitrile, 2-[4-[[(2S)-2,3-dihydro-8-methyl-1,4-dioxino[2,3-f]quinolin-2-yl]methyl]hexahydro-1H-1,4-diazepin-1-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



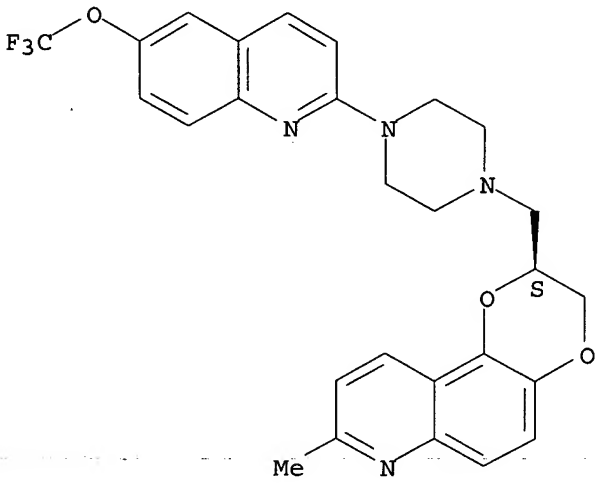
RN 676131-32-1 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(5-fluoro-3-benzofuranyl)-1-piperazinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

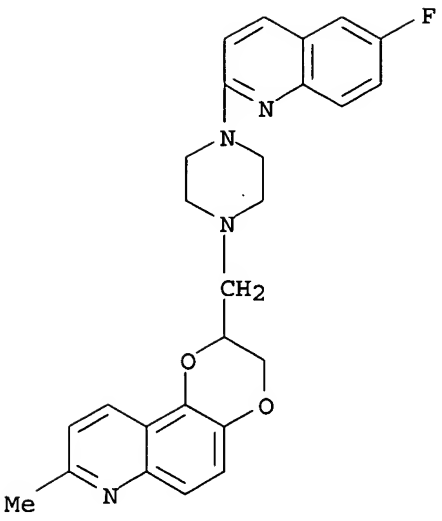


RN 676131-33-2 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-8-methyl-2-[[4-[6-(trifluoromethoxy)-2-quinolinyl]-1-piperazinyl]methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

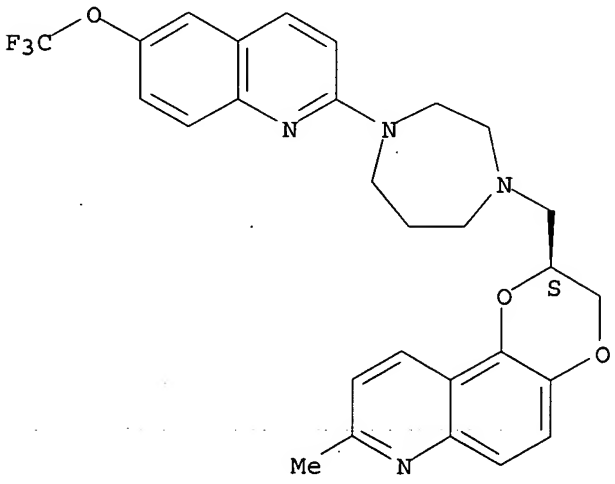


RN 676131-34-3 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(6-fluoro-2-quinolinyl)-1-piperazinyl]methyl]-2,3-dihydro-8-methyl- (9CI) (CA INDEX NAME)

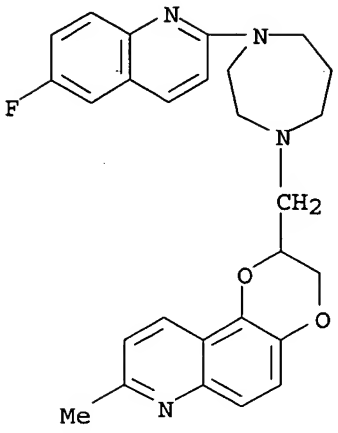


RN 676131-35-4 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[hexahydro-4-[6-(trifluoromethoxy)-2-quinolinyl]-1H-1,4-diazepin-1-yl]methyl]-2,3-dihydro-8-methyl-, (2S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

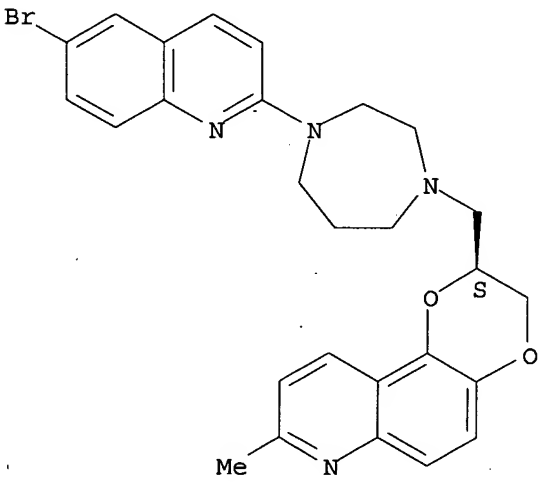


RN 676131-36-5 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(6-fluoro-2-quinolinyl)hexahydro-1H-1,4-diazepin-1-yl]methyl]-2,3-dihydro-8-methyl- (9CI) (CA INDEX NAME)

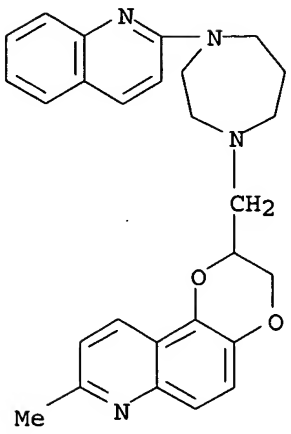


RN 676131-37-6 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(6-bromo-2-quinolinyl)hexahydro-1H-1,4-diazepin-1-yl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

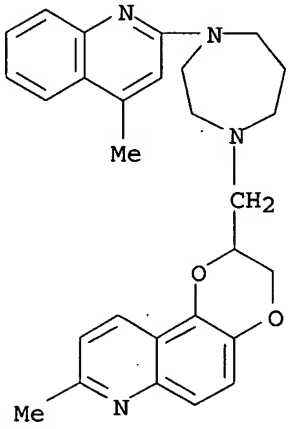
Absolute stereochemistry.



RN 676131-38-7 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[hexahydro-4-(2-quinolinyl)-1H-1,4-diazepin-1-yl]methyl]-2,3-dihydro-8-methyl- (9CI) (CA INDEX NAME)



RN 676131-39-8 CAPLUS
CN 1,4-Dioxino[2,3-f]quinoline, 2-[[hexahydro-4-(4-methyl-2-quinolinyl)-1H-1,4-diazepin-1-yl]methyl]-2,3-dihydro-8-methyl- (9CI) (CA INDEX NAME)

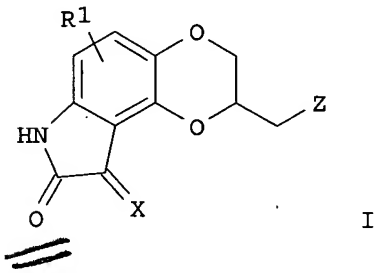


RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1999:100823 CAPLUS
DN 130:168383
TI Preparation of 2-(azaheterocyclylmethyl)-2,3,8,9-tetrahydro-7H-1,4-dioxino[2,3-e]indol-8-ones as antipsychotics.
IN Stack, Gary Paul
PA American Home Products Corporation, USA
SO U.S., 13 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5869490	A	19990209	US 1997-947565	19971009
PRAI US 1997-947565		19971009		

OS MARPAT 130:168383
GI



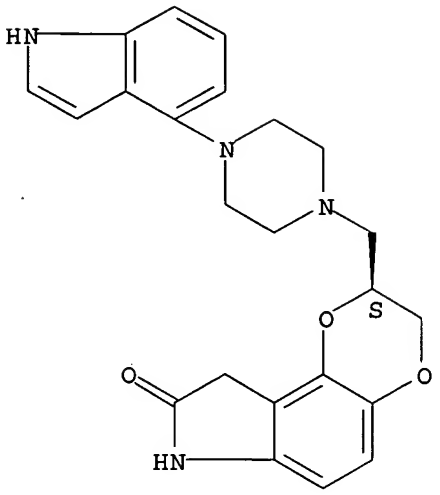
I

AB Title compds. [I; X = H2, O; R1 = H, OH, halo, CF3, OCF3, alkyl, alkoxy, aralkoxy, alkanoyloxy, amino, alkanamido, alkanesulfonamido; Z = (substituted) piperazinyl, (substituted) (benzo-fused) piperidinyl], were prepared Thus, (R)-(2-tosyloxymethyl)-6-fluoro-2,3,8,9-tetrahydro-7H-1,4-dioxino[2,3-e]indol-8-one and tetrahydroisoquinoline were heated 4 h in Me2SO to give (S)-2-(3,4-dihydro-1H-isoquinolin-2-ylmethyl)-6-fluoro-2,3,8,9-tetrahydro-7H-1,4-dioxino[2,3-e]indol-8-amine, isolated as the fumarate. This showed D2 receptor affinity with IC50 = 0.23 nM.

IT **206355-37-5P 206355-52-4P 220456-58-6P**
220456-61-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azaheterocyclylmethyltetrahydrodioxinoindolones as antipsychotics)

RN 206355-37-5 CAPLUS
CN 8H-1,4-Dioxino[2,3-e]indol-8-one, 2,3,7,9-tetrahydro-2-[[4-(1H-indol-4-yl)-1-piperazinyl]methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

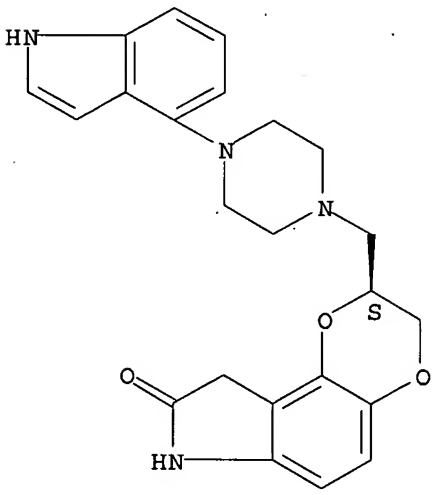


RN 206355-52-4 CAPLUS
CN 8H-1,4-Dioxino[2,3-e]indol-8-one, 2,3,7,9-tetrahydro-2-[[4-(1H-indol-4-yl)-1-piperazinyl]methyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 206355-37-5
CMF C23 H24 N4 O3

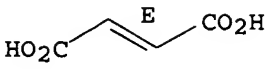
Absolute stereochemistry.



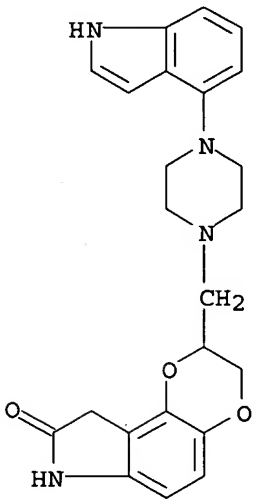
CM 2

CRN 110-17-8
CMF C4 H4 O4

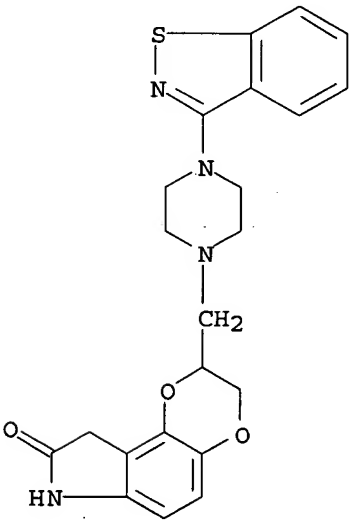
Double bond geometry as shown.



RN 220456-58-6 CAPLUS
CN 8H-1,4-Dioxino[2,3-e]indol-8-one, 2,3,7,9-tetrahydro-2-[[4-(1H-indol-4-yl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)



RN 220456-61-1 CAPLUS
CN 8H-1,4-Dioxino[2,3-e]indol-8-one, 2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]-2,3,7,9-tetrahydro- (9CI) (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1998:251174 CAPLUS
DN 128:308493
TI Preparation of azaheterocyclymethyl derivatives of 2,3,8,9-tetrahydro-7h-1,4-dioxino[2,3-e]indol-8-one for the treatment of brain dopamine dysregulation
IN Stack, Gary Paul
PA American Home Products Corporation, USA
SO PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9816530	A1	19980423	WO 1997-US18275	19971010
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2268195	AA	19980423	CA 1997-2268195	19971010
	AU 9748138	A1	19980511	AU 1997-48138	19971010
	EP 932609	A1	19990804	EP 1997-910866	19971010
	EP 932609	B1	20030514		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
	JP 2001502327	T2	20010220	JP 1998-518447	19971010
	AT 240335	E	20030515	AT 1997-910866	19971010
	PT 932609	T	20030930	PT 1997-910866	19971010
	ES 2196312	T3	20031216	ES 1997-910866	19971010
PRAI	US 1996-732807	A	19961015		
	WO 1997-US18275	W	19971010		

OS MARPAT 128:308493
GI

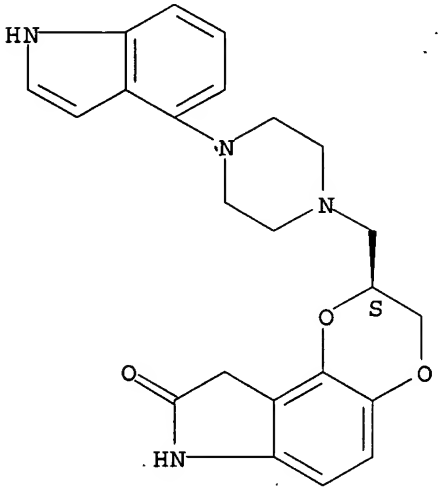
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; X = H2, O; R1 = H, OH, halo, etc.; Z = II, III, IV (wherein R2 = H, C1-6 alkyl, C3-8 cycloalkyl, etc.; R3 = H and R4 = H, (un)substituted 1-benzimidazolyl-2-one, indolyl, etc.; R3R4 taken together with the carbon atom to which they are attached form V or VI; R5 = H and R6 = (un)substituted Ph, naphthyl, thienyl, etc.; R5R6 taken together with the carbon atoms to which they are attached complete a benzene ring optionally substituted with R1)] and their salts, useful for the treatment of brain dopamine dysregulation, especially schizophrenia or a schizoaffective disorder, were prepared Thus, reaction of (R)-2-(toluene-4-sulfonyloxymethyl)-2,3,8,9-tetrahydro-7H-1,4-dioxino[2,3-e]indol-8-one (preparation described) with tetrahydroisoquinoline in DMSO afforded 82% (S)-I [X = H2; R1 = H; Z = 3,4-dihydro-1H-isoquinolin-2-yl] which showed IC50 of 0.35 nM against the dopamine D2 receptor binding.
IT **206355-37-5P 206355-46-6P 206355-52-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azaheterocyclylmethyl derivs. of 2,3,8,9-tetrahydro-7h-1,4-dioxino[2,3-e]indol-8-one for the treatment of brain dopamine dysregulation)

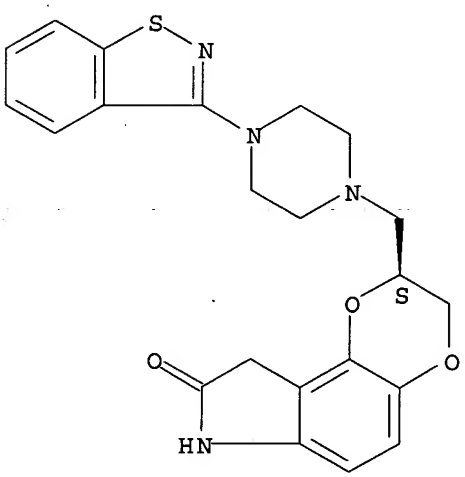
RN 206355-37-5 CAPLUS
CN 8H-1,4-Dioxino[2,3-e]indol-8-one, 2,3,7,9-tetrahydro-2-[[4-(1H-indol-4-yl)-1-piperazinyl]methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 206355-46-6 CAPLUS
CN 8H-1,4-Dioxino[2,3-e]indol-8-one, 2-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]-2,3,7,9-tetrahydro-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

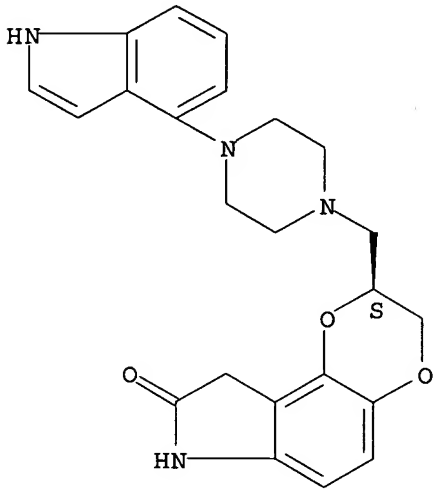


RN 206355-52-4 CAPLUS
CN 8H-1,4-Dioxino[2,3-e]indol-8-one, 2,3,7,9-tetrahydro-2-[[4-(1H-indol-4-yl)-1-piperazinyl]methyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 206355-37-5
CMF C23 H24 N4 O3

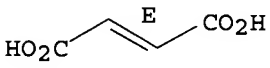
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
=> file caold
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                    20.21     181.96

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                    -2.92      -2.92

FILE 'CAOLD' ENTERED AT 16:33:25 ON 07 FEB 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1907-1966
FILE LAST UPDATED:  01 May 1997 (19970501/UP)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.  Title keywords, authors, patent
  assignees, and patent information, e.g., patent numbers, are
  now searchable from 1907-1966.  TIFF images of CA abstracts
  printed between 1907-1966 are available in the PAGE
  display formats.

  This file supports REG1stRY for direct browsing and searching of
  all substance data from the REGISTRY file.  Enter HELP FIRST for
  more information.

=> d his

     (FILE 'HOME' ENTERED AT 16:30:55 ON 07 FEB 2005)

     FILE 'REGISTRY' ENTERED AT 16:31:45 ON 07 FEB 2005
L1              STRUCTURE UPLOADED
L2            5 S L1
L3           54 S L1 SSS FULL

     FILE 'CAPLUS' ENTERED AT 16:32:36 ON 07 FEB 2005
L4            4 S L3

     FILE 'CAOLD' ENTERED AT 16:33:25 ON 07 FEB 2005

=> s l3
L5            0 L3

=> log h
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.43     182.39

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                     0.00      -2.92

 SESSION WILL BE HELD FOR 60 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 16:33:35 ON 07 FEB 2005
```